

10/657,910

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NEWS 15 DEC 17 Fifty-one pharmaceutical ingredients added to PS

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FILE 'HOME' ENTERED AT 18:12:33 ON 04 JAN 2009

FILE 'CAPLUS' ENTERED AT 18:12:46 ON 04 JAN 2009
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FILE COVERS 1907 - 4 Jan 2009 VOL 150 ISS 2
FILE LAST UPDATED: 2 Jan 2009 (20090102/ED)

Caplus now includes complete International Patent Classification (IPC) reclassification data for the third quarter of 2008.

Effective October 17, 2005, revised CAS Information Use Policies apply.

10/923,271

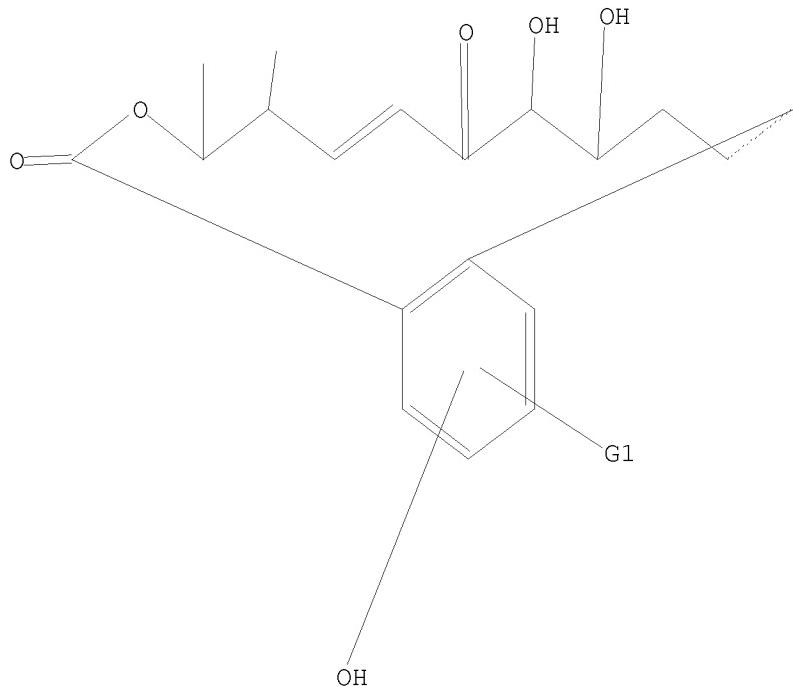
They are available for your review at:

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Uploading C:\Program Files\Stnexp\Queries\910b.str

L1 STRUCTURE UPLOADED

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L1 HAS NO ANSWERS
L1 STR



G1 O,N

Structure attributes must be viewed using STN Express query preparation.

=> s l1 full
REG1stRY INITIATED
Substance data SEARCH and crossover from CAS REGISTRY in progress...
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FULL SEARCH INITIATED 18:13:17 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 122490 TO ITERATE

10/923,271

100.0% PROCESSED 122490 ITERATIONS
SEARCH TIME: 00.00.03

87 ANSWERS

L2 87 SEA SSS FUL L1

L3 3 L2

=> d 1-3 ibib abs hitstr

L3 ANSWER 1 OF 3 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2008:1487260 CAPLUS
TITLE: Preparation of radicicol A analogs as kinase and phosphatase inhibitors
INVENTOR(S): Winssinger, Nicolas; Barluenga, Sofia
PATENT ASSIGNEE(S): Fr.
SOURCE: PCT Int. Appl., 124pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2008149244	A2	20081211	WO 2008-IB2497	20080605
W: AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				

PRIORITY APPLN. INFO.: US 2007-933171P P 20070605
AB Radicicol A analogs of formula I [R1-R4, R7, R8 = H, halo, CN, OH, alkoxy, acyl, (substituted) NH₂, alkylthio, aryl, etc.; R5, R6 = H, halo, CN, alkyl, aryl, etc.; R9-R11 = H, azide, OH, alkoxy, (substituted) NH₂, alkylthio, aryl, etc.; V = O, S, (substituted) NH; W = O, S (substituted) NH, etc.; X, Y = CH₂, O, C, (substituted) NH, bond; XY = CH=CH, CHO-CHOH, cyclopropadiyl, etc.; Z = (substituted) CH₂, =CH, O, S, =N, bond, etc.] are prepared. The compds. are kinase and phosphatase inhibitors and find utility in the treatment or prevention of kinase and phosphatase-mediated disorders. Also provided are uses and methods for the treatment or prevention of kinase- and phosphatase-mediated disorders and synthetic processes for the preparation of the compds. Thus, II was prepared, and was found to be a potent inhibitor of several kinases.
IT INDEXING IN PROGRESS
IT 1092507-26-0P 1092507-27-1P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

10/923,271

(Uses)

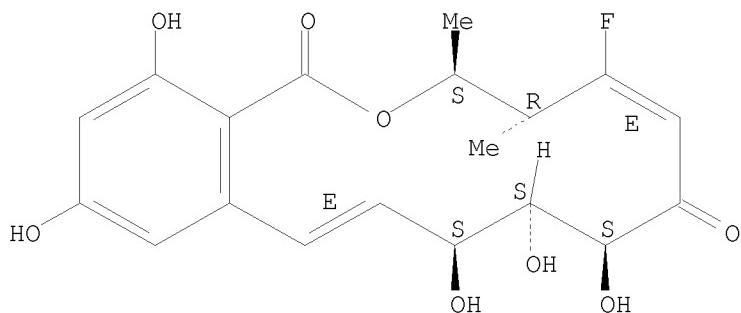
(preparation of radicicol A analogs as kinase and phosphatase inhibitors)

RN 1092507-26-0 CAPLUS

CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.

Double bond geometry as shown.

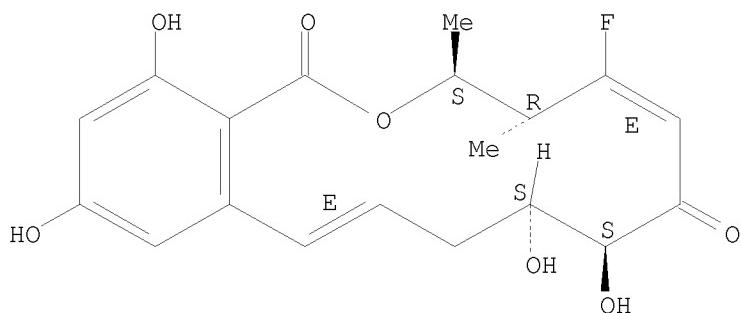


RN 1092507-27-1 CAPLUS

CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.

Double bond geometry as shown.



L3 ANSWER 2 OF 3 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2004:964817 CAPLUS

DOCUMENT NUMBER: 141:410756

TITLE: Preparation of macrocyclic compounds for the treatment of inflammation and autoimmune disorders

INVENTOR(S): Chiba, Kenichi; Du, Hong; Eguchi, Yoshihito; Fujita, Masanori; Goto, Masaki; Gusovsky, Fabian; Harmange, Jean-Christophe; Inoue, Atsushi; Kawada, Megumi; Kawai, Takatoshi; Kawakami, Yoshiyuki; Kimura, Akifumi; Kotake, Makoto; Kuboi, Yoshikazu; Matsushima, Tomohiro; Mizui, Yoshiharu; Muramoto, Kenzo; Sakurai, Hideki; Shen, Yong-chun; Shiota, Hiroshi; Spyvee, Mark; Tanaka, Isao; Wang, John; Wood, Ray; Yamamoto, Satoshi; Yoneda, Naoki

PATENT ASSIGNEE(S): Japan

SOURCE: U.S. Pat. Appl. Publ., 299 pp., Cont.-in-part of Appl.
No. PCT/US03/07377.

CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

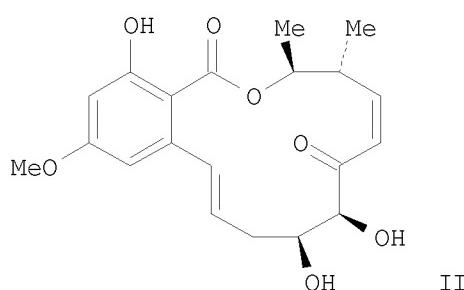
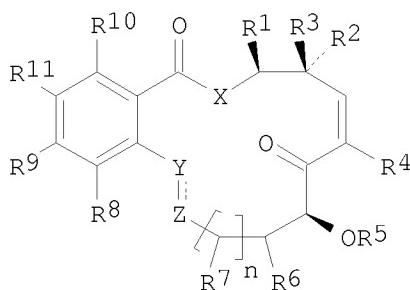
FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20040224936	A1	20041111	US 2003-657910	20030909
WO 2003076424	A1	20030918	WO 2003-US7377	20030307
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
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WO 2005023792	A2	20050317	WO 2004-US29196	20040909
WO 2005023792	A3	20050623		
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RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
JP 2008297317	A	20081211	JP 2008-194192	20080728
PRIORITY APPLN. INFO.:			US 2002-362883P	P 20020308
			US 2002-380711P	P 20020514
			WO 2003-US7377	A2 20030307
			JP 2003-574642	A3 20030307
			US 2003-657910	A 20030909

OTHER SOURCE(S): CASREACT 141:410756; MARPAT 141:410756

GI



AB Macrocyclic compds. of formula I [R1 = H, alkyl, cycloalkyl, heterocycloalkyl, aryl, heteroaryl, etc.; R2, R3 = H, halo, (substituted) OH, alkyl, aryl, etc.; R1R2, R1R3 = alkylene; R4 = H, halo; R5 = H, protecting group, prodrug; R6, R7, R11 = H, (substituted) OH; R8, R9 = H, halo, (substituted) OH, alkoxy, etc.; R10 = H, (substituted) OH, (substituted) NH₂; n = 0-2; X = absent, O, NH, N-alkyl, CH₂, S; Y, Z = CH, O, CO, NH, etc.] are prepared for the treatment of various disorders including inflammatory or autoimmune disorders, and disorders involving malignancy or increased angiogenesis. In certain embodiments, methods for the treatment of various disorders including inflammatory or autoimmune disorders comprise systemically (e.g., orally) administering to a subject in need thereof a therapeutically effective amount of a compound of formula I. Thus, II was prepared in several steps. Some of the compds. inhibited NF-κB with IC₅₀ values < 10μM.

IT 603039-45-8P 603045-38-1P 603045-40-5P
 603045-42-7P 603045-44-9P 603045-46-1P
 603151-24-2P 603151-32-2P 603151-34-4P
 603959-45-1P 603985-37-1P 603985-40-6P
 603985-41-7P 603985-63-3P 603985-65-5P
 603985-69-9P 603985-71-3P 603985-72-4P
 603985-73-5P 603985-74-6P 603985-75-7P
 603985-77-9P 603985-78-0P 603986-04-5P
 603987-34-4P 603987-35-5P 603987-75-3P
 603987-93-5P 603988-36-9P 791101-13-8P
 791101-14-9P 791101-15-0P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

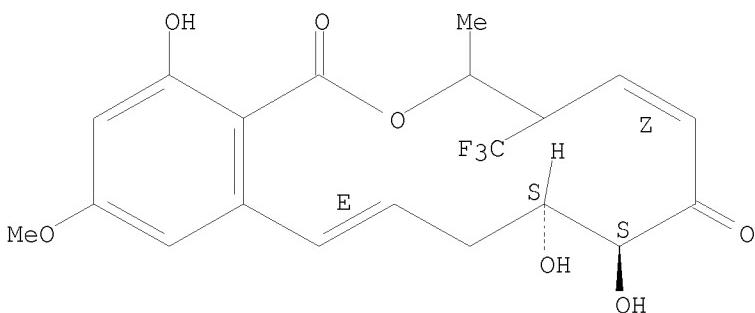
(preparation of macrocyclic compds. for the treatment of inflammatory or autoimmune disorders)

RN 603039-45-8 CAPLUS

CN 1H-2-Benzoxacyclotetradecin-1,7(8H)-dione,
 3,4,9,10-tetrahydro-8,9,16-trihydroxy-14-methoxy-3-methyl-4-(trifluoromethyl)-, (5Z,8S,9S,11E)- (CA INDEX NAME)

Absolute stereochemistry.

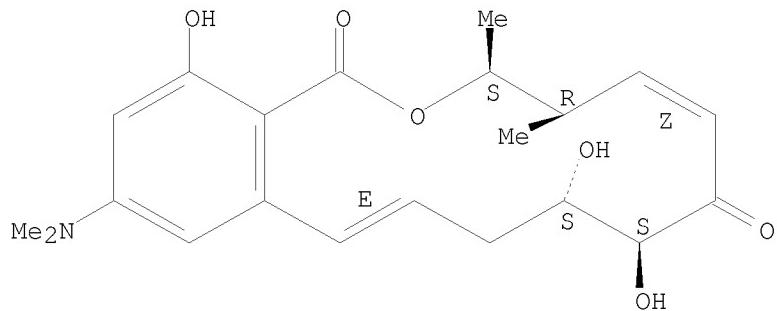
Double bond geometry as shown.



RN 603045-38-1 CAPLUS

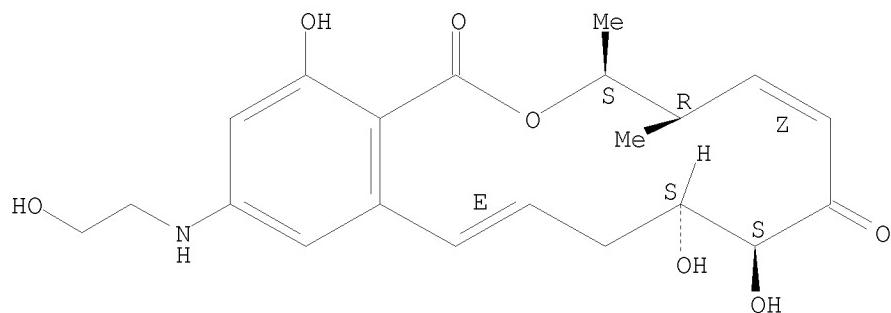
CN 1H-2-Benzoxacyclotetradecin-1,7(8H)-dione,
 14-(dimethylamino)-3,4,9,10-tetrahydro-8,9,16-trihydroxy-3,4-dimethyl-,
 (3S,4R,5Z,8S,9S,11E)- (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



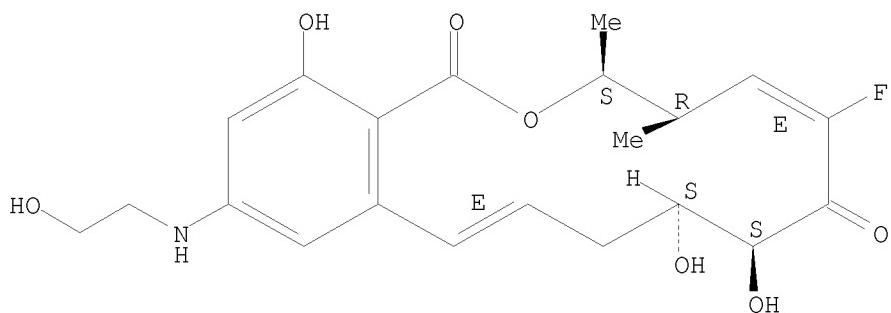
RN 603045-40-5 CAPLUS
 CN 1H-2-Benzoxacyclotetradecin-1,7(8H)-dione,
 3,4,9,10-tetrahydro-8,9,16-trihydroxy-14-[(2-hydroxyethyl)amino]-3,4-dimethyl-, (3S,4R,5Z,8S,9S,11E)- (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



RN 603045-42-7 CAPLUS
 CN 1H-2-Benzoxacyclotetradecin-1,7(8H)-dione,
 6-fluoro-3,4,9,10-tetrahydro-8,9,16-trihydroxy-14-[(2-hydroxyethyl)amino]-3,4-dimethyl-, (3S,4R,5E,8S,9S,11E)- (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

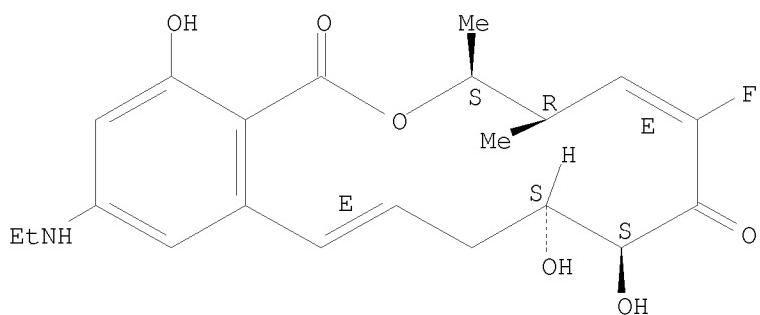


RN 603045-44-9 CAPLUS

CN 1H-2-Benzoxacyclotetradecin-1,7(8H)-dione,
14-(ethylamino)-6-fluoro-3,4,9,10-tetrahydro-8,9,16-trihydroxy-3,4-dimethyl-, (3S,4R,5E,8S,9S,11E)- (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

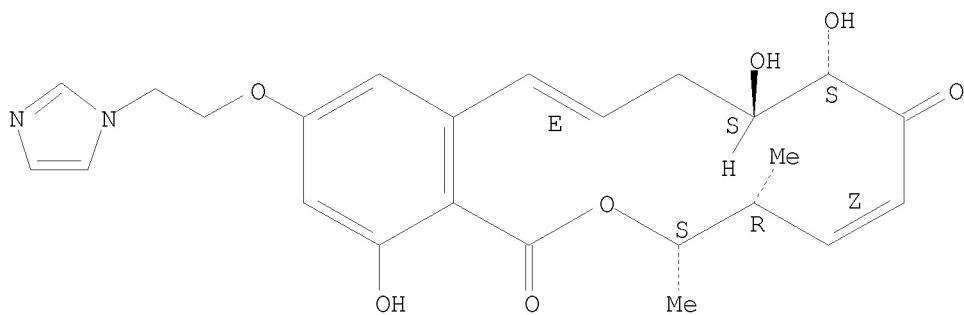


RN 603045-46-1 CAPLUS

CN 1H-2-Benzoxacyclotetradecin-1,7(8H)-dione,
3,4,9,10-tetrahydro-8,9,16-trihydroxy-14-[2-(1H-imidazol-1-yl)ethoxy]-3,4-dimethyl-, (3S,4R,5Z,8S,9S,11E)- (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

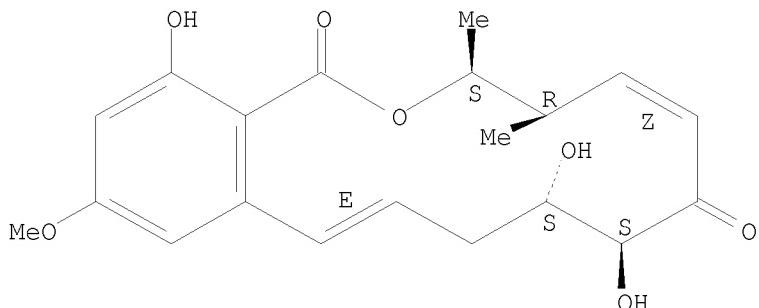


RN 603151-24-2 CAPLUS

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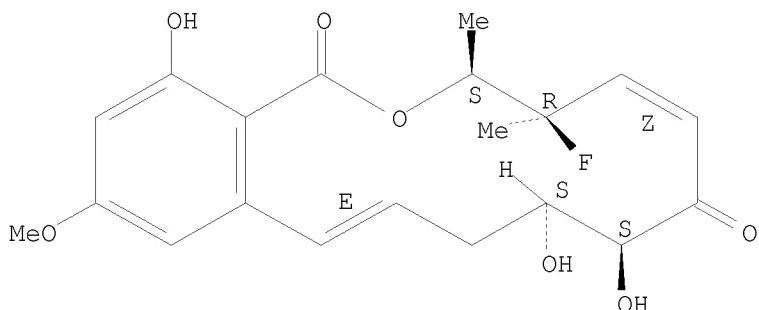
CN 1H-2-Benzoxacyclotetradecin-1,7(8H)-dione,
3, 4, 9, 10-tetrahydro-8, 9, 16-trihydroxy-14-methoxy-3, 4-dimethyl-,
(3S, 4R, 5Z, 8S, 9S, 11E)- (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



RN 603151-32-2 CAPLUS
CN 1H-2-Benzoxacyclotetradecin-1,7(8H)-dione,
4-fluoro-3, 4, 9, 10-tetrahydro-8, 9, 16-trihydroxy-14-methoxy-3, 4-dimethyl-,
(3S, 4R, 5Z, 8S, 9S, 11E)- (CA INDEX NAME)

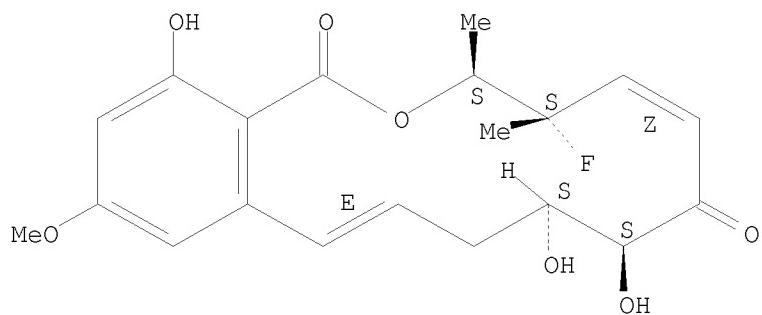
Absolute stereochemistry.
Double bond geometry as shown.



RN 603151-34-4 CAPLUS
CN 1H-2-Benzoxacyclotetradecin-1,7(8H)-dione,
4-fluoro-3, 4, 9, 10-tetrahydro-8, 9, 16-trihydroxy-14-methoxy-3, 4-dimethyl-,
(3S, 4S, 5Z, 8S, 9S, 11E)- (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

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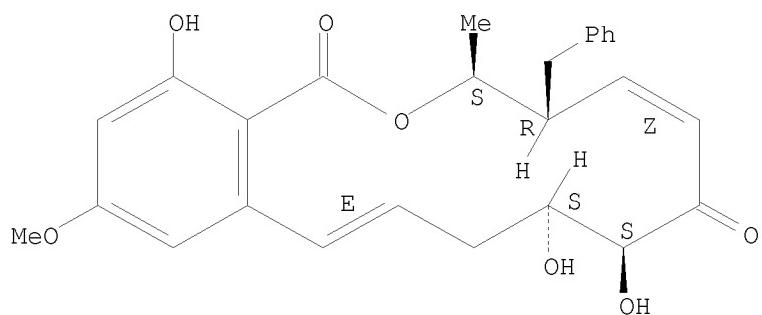


RN 603959-45-1 CAPLUS

CN 1H-2-Benzoxacycyclotetradecin-1,7(8H)-dione,
3,4,9,10-tetrahydro-8,9,16-trihydroxy-14-methoxy-3-methyl-4-(phenylmethyl)-
, (3S,4R,5Z,8S,9S,11E)- (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

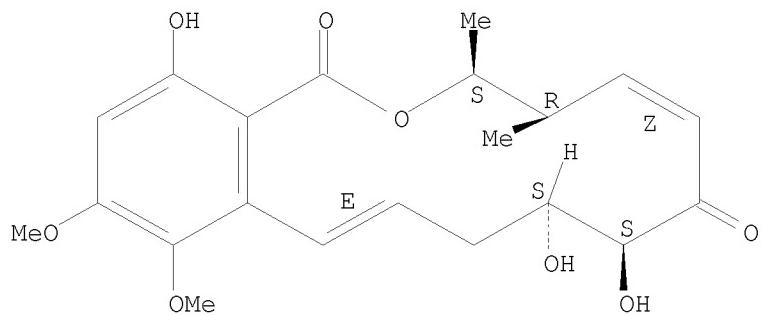


RN 603985-37-1 CAPLUS

CN 1H-2-Benzoxacycyclotetradecin-1,7(8H)-dione,
3,4,9,10-tetrahydro-8,9,16-trihydroxy-13,14-dimethoxy-3,4-dimethyl-,
(3S,4R,5Z,8S,9S,11E)- (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.



RN 603985-40-6 CAPLUS

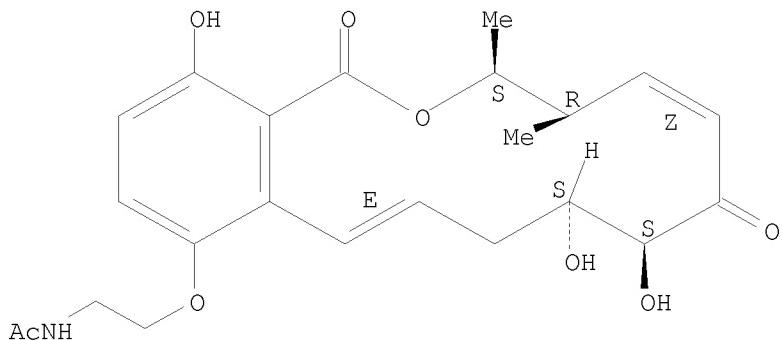
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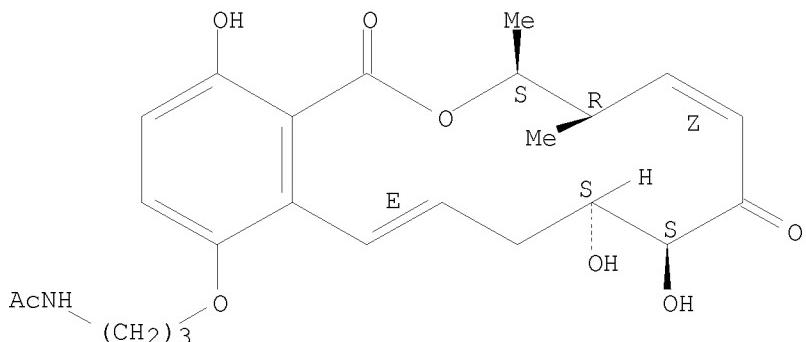
CN Acetamide, N-[2-[(3S,4R,5Z,8S,9S,11E)-3,4,7,8,9,10-hexahydro-8,9,16-trihydroxy-3,4-dimethyl-1,7-dioxo-1H-2-benzoxacyclotetradecin-13-yl]oxy]ethyl]- (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



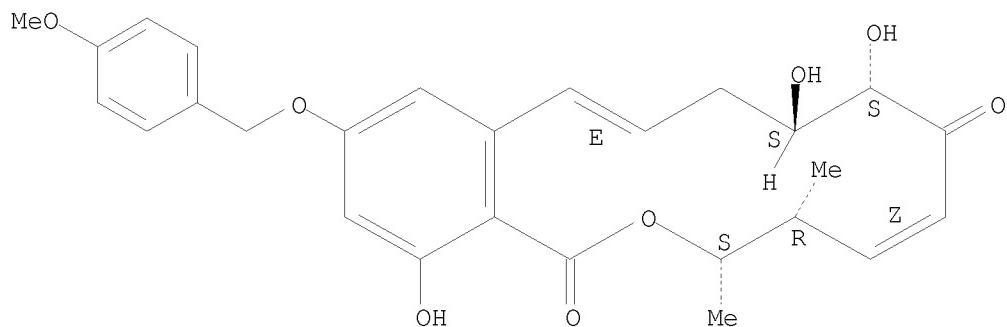
RN 603985-41-7 CAPLUS
CN Acetamide, N-[3-[(3S,4R,5Z,8S,9S,11E)-3,4,7,8,9,10-hexahydro-8,9,16-trihydroxy-3,4-dimethyl-1,7-dioxo-1H-2-benzoxacyclotetradecin-13-yl]oxy]propyl]- (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



RN 603985-63-3 CAPLUS
CN 1H-2-Benzoxacyclotetradecin-1,7(8H)-dione,
3,4,9,10-tetrahydro-8,9,16-trihydroxy-14-[(4-methoxyphenyl)methoxy]-3,4-dimethyl-, (3S,4R,5Z,8S,9S,11E)- (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

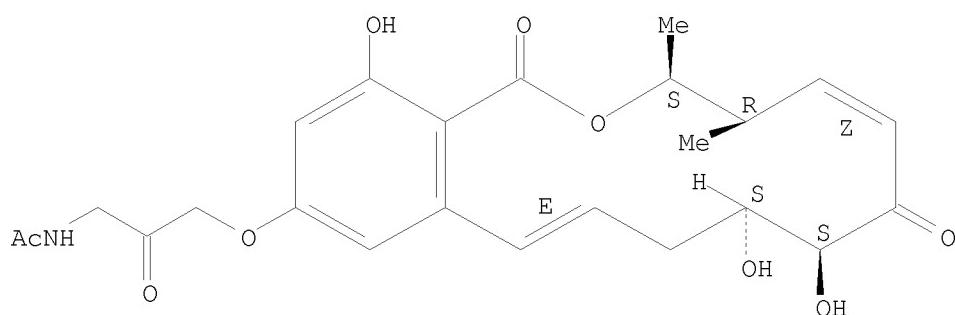


RN 603985-65-5 CAPLUS

CN Acetamide, N-[3-[(3S,4R,5Z,8S,9S,11E)-3,4,7,8,9,10-hexahydro-8,9,16-trihydroxy-3,4-dimethyl-1,7-dioxo-1H-2-benzoxacyclotetradecin-14-yl]oxy]-2-oxopropyl]- (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

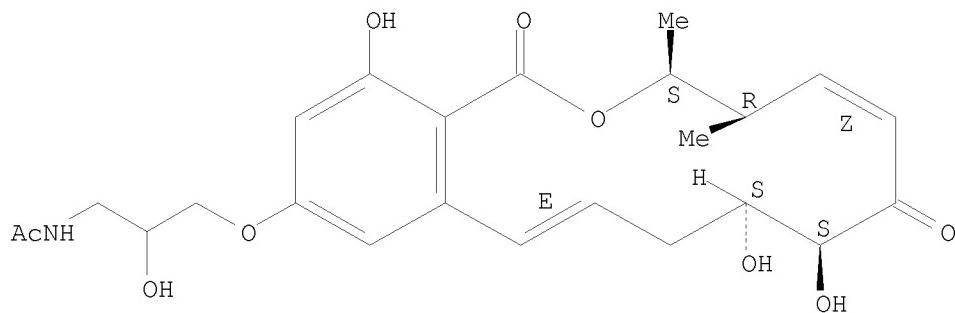


RN 603985-69-9 CAPLUS

CN Acetamide, N-[3-[(3S,4R,5Z,8S,9S,11E)-3,4,7,8,9,10-hexahydro-8,9,16-trihydroxy-3,4-dimethyl-1,7-dioxo-1H-2-benzoxacyclotetradecin-14-yl]oxy]-2-hydroxypropyl]- (CA INDEX NAME)

Absolute stereochemistry.

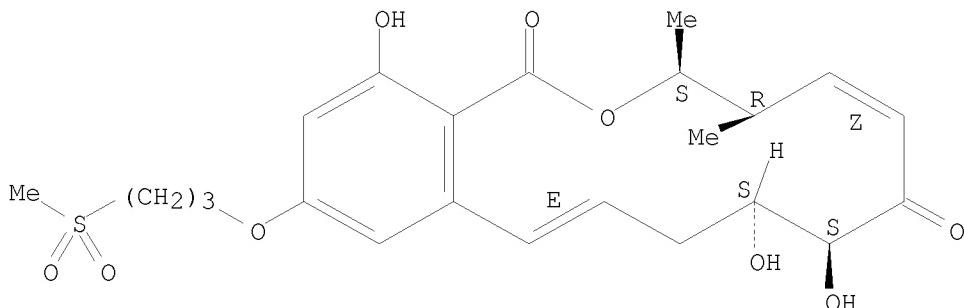
Double bond geometry as shown.



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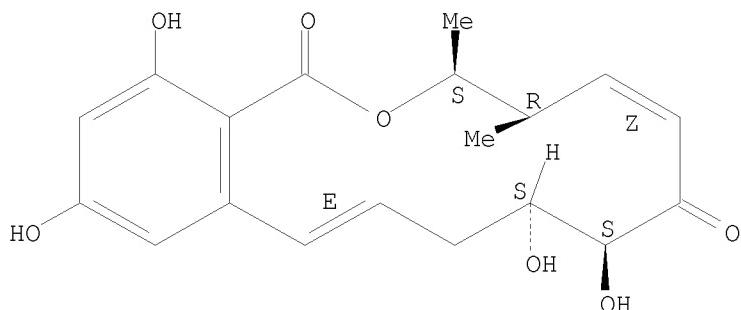
CN 1H-2-Benzoxacyclotetradecin-1,7(8H)-dione,
 3,4,9,10-tetrahydro-8,9,16-trihydroxy-3,4-dimethyl-14-[3-(methylsulfonyl)propoxy]-, (3S,4R,5Z,8S,9S,11E)- (CA INDEX NAME)

Absolute stereochemistry.
 Double bond geometry as shown.



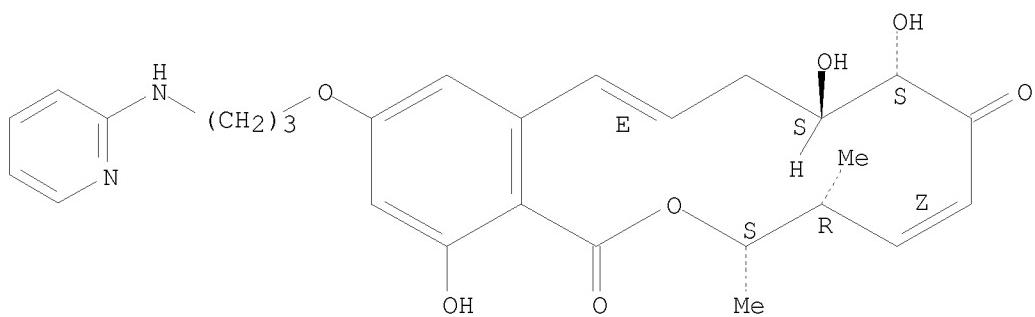
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 3,4,9,10-tetrahydro-8,9,14,16-tetrahydroxy-3,4-dimethyl-,
 (3S,4R,5Z,8S,9S,11E)- (CA INDEX NAME)

Absolute stereochemistry.
 Double bond geometry as shown.



RN 603985-73-5 CAPLUS
 CN 1H-2-Benzoxacyclotetradecin-1,7(8H)-dione,
 3,4,9,10-tetrahydro-8,9,16-trihydroxy-3,4-dimethyl-14-[3-(2-pyridinylamino)propoxy]-, (3S,4R,5Z,8S,9S,11E)- (CA INDEX NAME)

Absolute stereochemistry.
 Double bond geometry as shown.

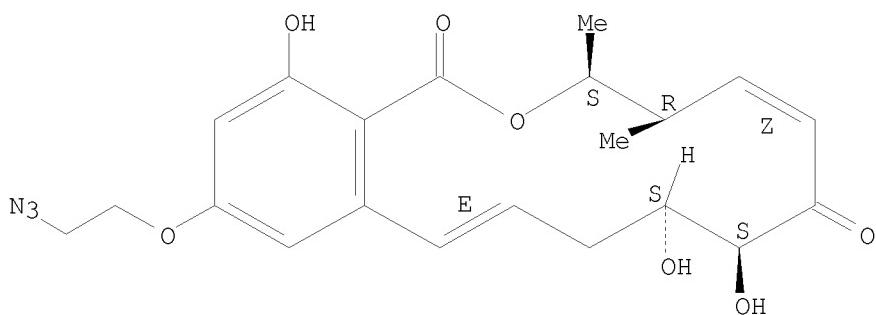


RN 603985-74-6 CAPLUS

CN 1H-2-Benzoxacycyclotetradecin-1,7(8H)-dione,
14-(2-azidoethoxy)-3,4,9,10-tetrahydro-8,9,16-trihydroxy-3,4-dimethyl-,
(3S,4R,5Z,8S,9S,11E)- (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.



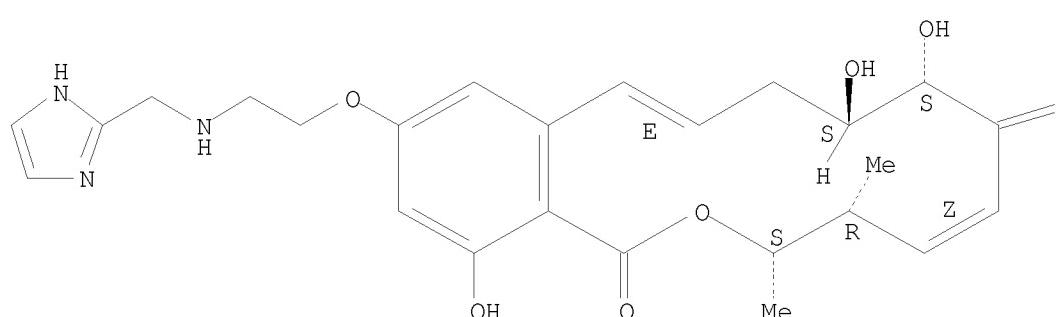
RN 603985-75-7 CAPLUS

CN 1H-2-Benzoxacycyclotetradecin-1,7(8H)-dione,
3,4,9,10-tetrahydro-8,9,16-trihydroxy-14-[2-[(1H-imidazol-2-
ylmethyl)amino]ethoxy]-3,4-dimethyl-, (3S,4R,5Z,8S,9S,11E)- (CA INDEX
NAME)

Absolute stereochemistry.

Double bond geometry as shown.

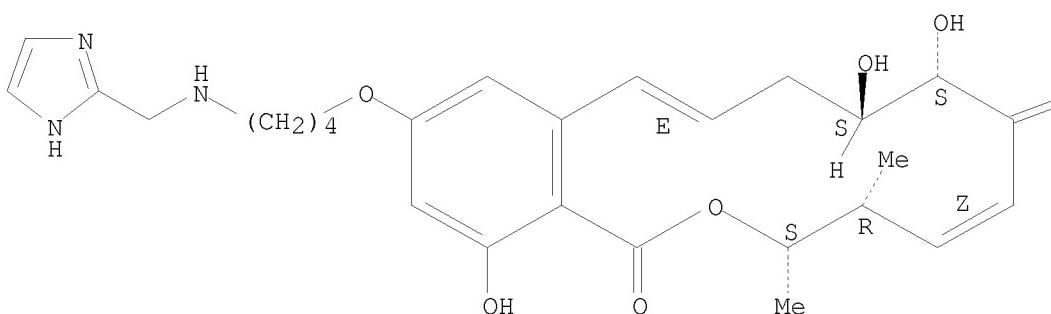
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$\equiv O$

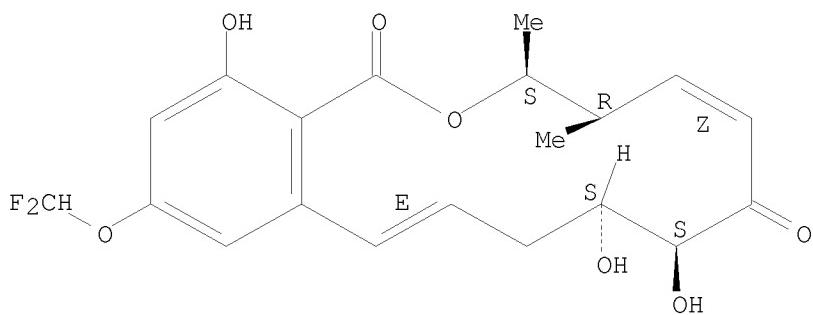
RN 603985-77-9 CAPLUS
 CN 1H-2-Benzoxacyclotetradecin-1,7(8H)-dione,
 3,4,9,10-tetrahydro-8,9,16-trihydroxy-14-[4-[(1H-imidazol-2-ylmethyl)amino]butoxy]-3,4-dimethyl-, (3S,4R,5Z,8S,9S,11E)- (CA INDEX NAME)

Absolute stereochemistry.
 Double bond geometry as shown.

 $\equiv O$

RN 603985-78-0 CAPLUS
 CN 1H-2-Benzoxacyclotetradecin-1,7(8H)-dione,
 14-(difluoromethoxy)-3,4,9,10-tetrahydro-8,9,16-trihydroxy-3,4-dimethyl-, (3S,4R,5Z,8S,9S,11E)- (CA INDEX NAME)

Absolute stereochemistry.
 Double bond geometry as shown.

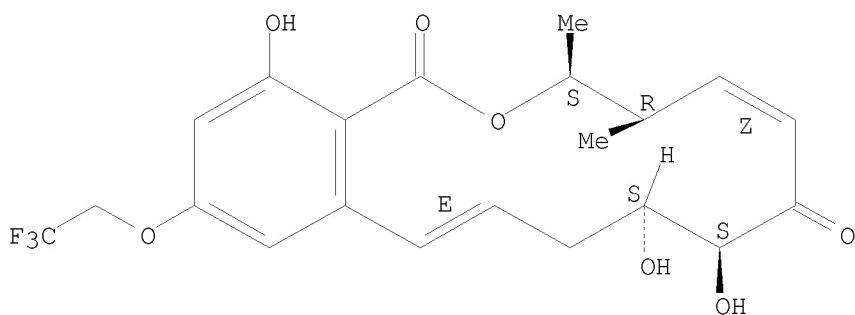


RN 603986-04-5 CAPLUS

CN 1H-2-Benzoxacyclotetradecin-1,7(8H)-dione,
3,4,9,10-tetrahydro-8,9,16-trihydroxy-3,4-dimethyl-14-(2,2,2-trifluoroethoxy)-, (3S,4R,5Z,8S,9S,11E)- (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

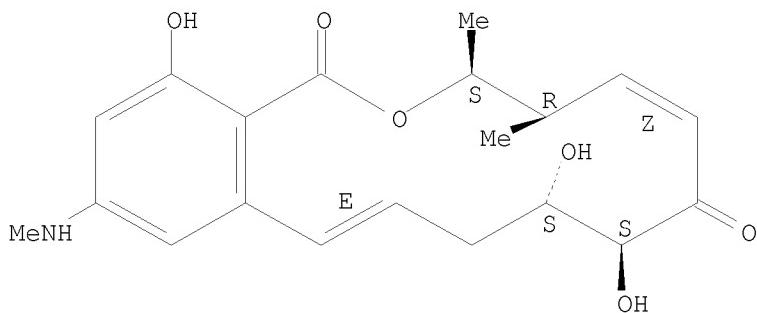


RN 603987-34-4 CAPLUS

CN 1H-2-Benzoxacyclotetradecin-1,7(8H)-dione,
3,4,9,10-tetrahydro-8,9,16-trihydroxy-3,4-dimethyl-14-(methylamino)-,
(3S,4R,5Z,8S,9S,11E)- (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

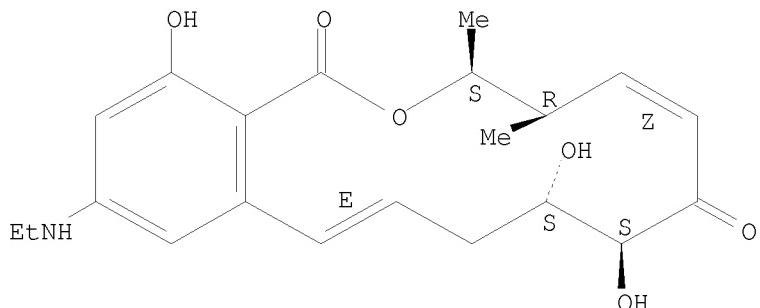


RN 603987-35-5 CAPLUS

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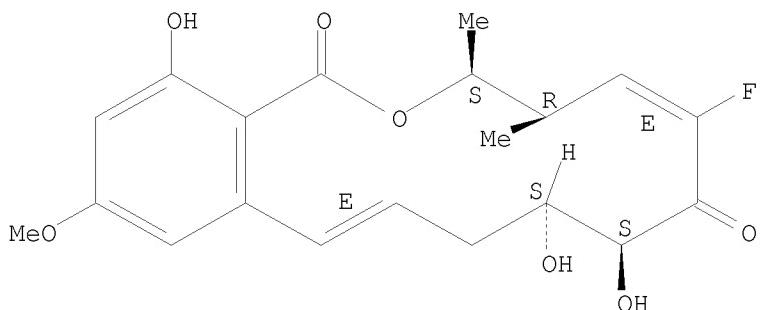
CN 1H-2-Benzoxacyclotetradecin-1,7(8H)-dione,
14-(ethylamino)-3,4,9,10-tetrahydro-8,9,16-trihydroxy-3,4-dimethyl-,
(3S,4R,5Z,8S,9S,11E)- (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



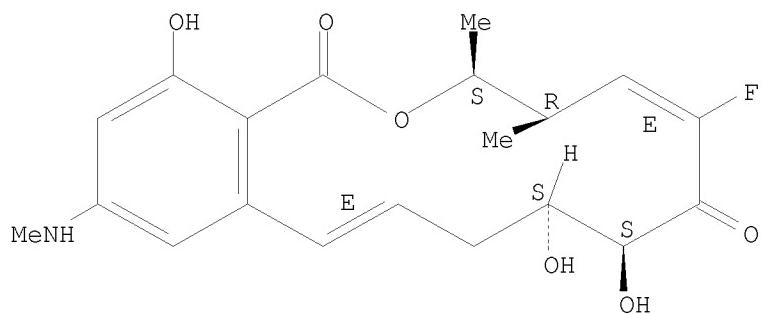
RN 603987-75-3 CAPLUS
CN 1H-2-Benzoxacyclotetradecin-1,7(8H)-dione,
6-fluoro-3,4,9,10-tetrahydro-8,9,16-trihydroxy-14-methoxy-3,4-dimethyl-,
(3S,4R,5E,8S,9S,11E)- (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



RN 603987-93-5 CAPLUS
CN 1H-2-Benzoxacyclotetradecin-1,7(8H)-dione,
6-fluoro-3,4,9,10-tetrahydro-8,9,16-trihydroxy-3,4-dimethyl-14-
(methylamino)-, (3S,4R,5E,8S,9S,11E)- (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

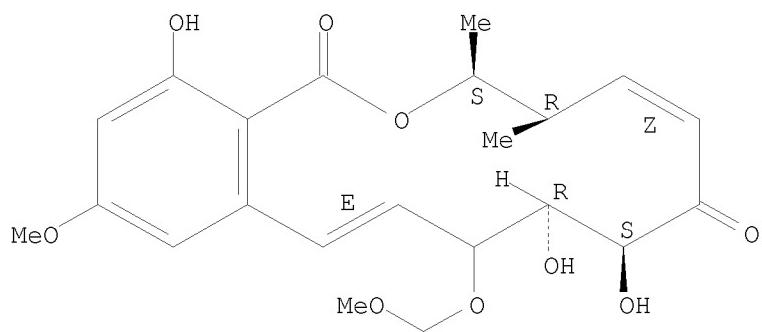


RN 603988-36-9 CAPLUS

CN 1H-2-Benzoxacyclotetradecin-1,7(8H)-dione,
3,4,9,10-tetrahydro-8,9,16-trihydroxy-14-methoxy-10-(methoxymethoxy)-3,4-dimethyl-, (3S,4R,5Z,8S,9R,11E)- (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

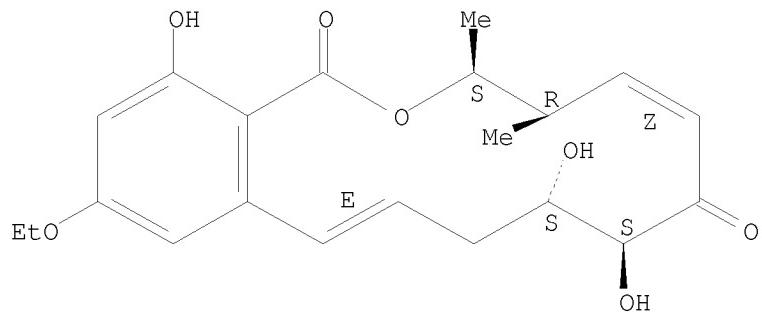


RN 791101-13-8 CAPLUS

CN 1H-2-Benzoxacyclotetradecin-1,7(8H)-dione,
14-ethoxy-3,4,9,10-tetrahydro-8,9,16-trihydroxy-3,4-dimethyl-, (3S,4R,5Z,8S,9S,11E)- (CA INDEX NAME)

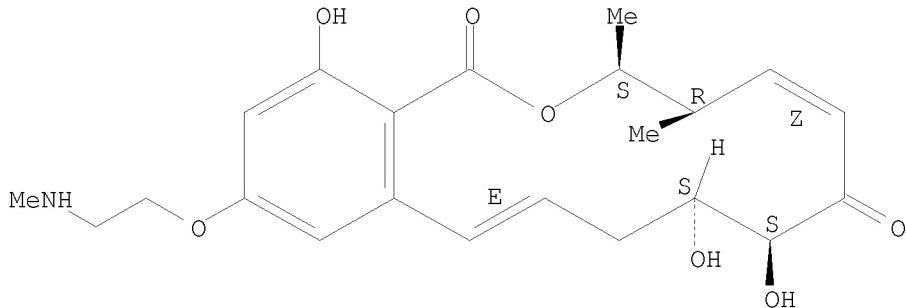
Absolute stereochemistry.

Double bond geometry as shown.



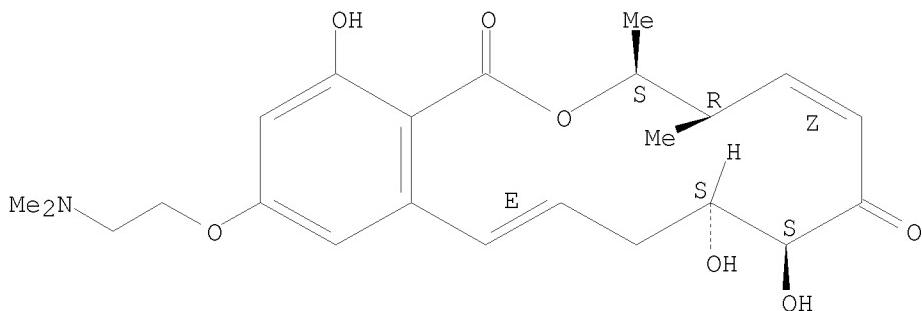
RN 791101-14-9 CAPLUS
 CN 1H-2-Benzoxacyclotetradecin-1,7(8H)-dione,
 3,4,9,10-tetrahydro-8,9,16-trihydroxy-3,4-dimethyl-14-[2-(methylamino)ethoxy]-, (3S,4R,5Z,8S,9S,11E)- (CA INDEX NAME)

Absolute stereochemistry.
 Double bond geometry as shown.



RN 791101-15-0 CAPLUS
 CN 1H-2-Benzoxacyclotetradecin-1,7(8H)-dione,
 14-[2-(dimethylamino)ethoxy]-3,4,9,10-tetrahydro-8,9,16-trihydroxy-3,4-dimethyl-, (3S,4R,5Z,8S,9S,11E)- (CA INDEX NAME)

Absolute stereochemistry.
 Double bond geometry as shown.

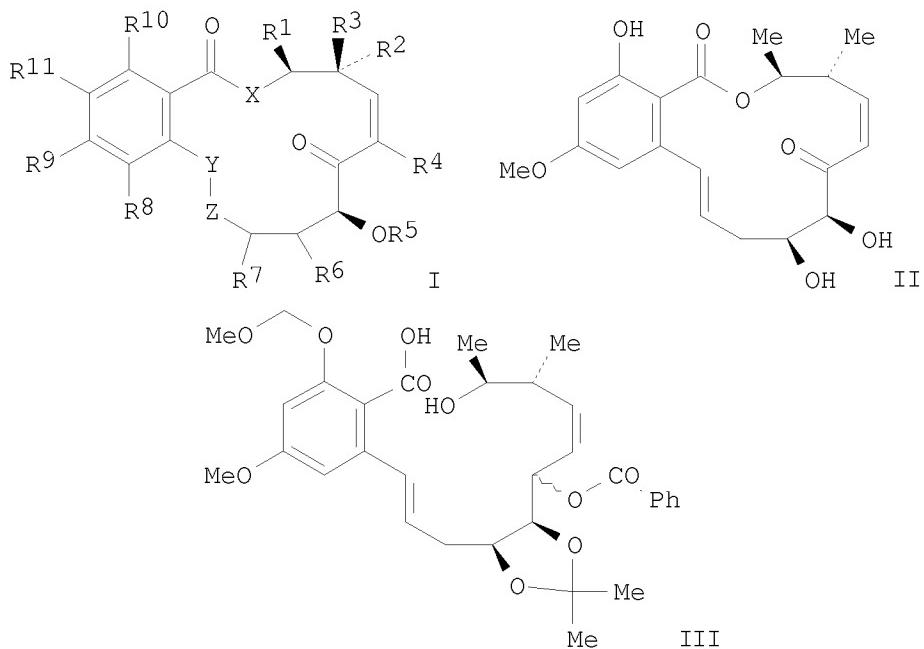


L3 ANSWER 3 OF 3 CAPLUS COPYRIGHT 2009 ACS on STN
 ACCESSION NUMBER: 2003:737744 CAPLUS
 DOCUMENT NUMBER: 139:261090
 TITLE: Preparation of macrocyclic compounds for use in pharmaceutical and cosmetic compositions which regulate various genes involved in immune and inflammatory responses
 INVENTOR(S): Boivin, Roch; Chiba, Kenichi; Davis, Heather A.; Diepitro, Lucian; Du, Hong; Eguchi, Yoshihito; Fujita, Masanori; Gilbert, Sandra; Goto, Masaki; Harmange, Jean Christophe; Inoue, Atsushi; Jiang, Yimin; Kawada, Megumi; Kawai, Takatoshi; Kawakami, Yoshiyuki; Kimura, Akifumi; Kotake, Makoto; Kuboi, Yoshikazu; Lemelin,

PATENT ASSIGNEE(S): Charles; Li, Xiang-yi; Matsushima, Tomohiro; Mizui, Yoshiharu; Sakurai, Hideki; Schiller, Shawn; Shen, Yongchun; Spyvee, Mark; Tanaka, Isao; Wang, Yuan; Yamamoto, Satoshi; Yoneda, Naoki; Kobayashi, Seiichi Eisai Co. Ltd., Japan; et al.
 SOURCE: PCT Int. Appl., 438 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 2
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003076424	A1	20030918	WO 2003-US7377	20030307
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2478065	A1	20030918	CA 2003-2478065	20030307
AU 2003224672	A1	20030922	AU 2003-224672	20030307
BR 2003008113	A	20050209	BR 2003-8113	20030307
EP 1507773	A1	20050223	EP 2003-721353	20030307
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
JP 2005519954	T	20050707	JP 2003-574642	20030307
CN 1653059	A	20050810	CN 2003-810248	20030307
NZ 535101	A	20070727	NZ 2003-535101	20030307
RU 2334744	C2	20080927	RU 2004-129755	20030307
US 20040224936	A1	20041111	US 2003-657910	20030909
IN 2004KN01270	A	20060714	IN 2004-KN1270	20040830
MX 2004PA08722	A	20050713	MX 2004-PA8722	20040908
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JP 2008297317	A	20081211	JP 2008-194192	20080728
PRIORITY APPLN. INFO.:			US 2002-362883P	P 20020308
			US 2002-380711P	P 20020514
			JP 2003-574642	A3 20030307
			WO 2003-US7377	W 20030307

OTHER SOURCE(S): MARPAT 139:261090
 GI



AB Macrocyclic lactones and lactams, such as I [R1 = H, alkyl, heteroalkyl, cycloalkyl, heterocyclyl, aryl, heteroaryl; R2, R3 = H, OH, halogen, protected hydroxyl, alkyl, heteroalkyl, cycloalkyl, heterocyclyl, aryl, heteroaryl; R1R2 or R1R3 = 3-8 membered alicyclic ring; R4 = H, halogen; R5 = H, hydroxyl protecting group, linked prodrug; R6, R7 = H, OH, protected hydroxyl; R8, R9, R10, R11 = H, OH, NH₂, alkoxy, alkylamino, etc.; X = O, NH, S, CH₂, etc.; R8R9 = fused ring, such as furan or imidazole; Y-Z = CH:CH, NHCO, etc.], were prepared for a variety of therapeutic and cosmetic uses, such as antitumor and anti-inflammatory agents and treatment of skin photodamage. These macrocycles are claimed for use as NF-κB, AP-1, protein kinase, cancer cell proliferation and solid tumor angiogenesis inhibitors and for use in the treatment of inflammation, cancer, psoriasis, skin photodamage, restenosis as stent coatings, rheumatoid arthritis, asthma, sepsis, inflammatory bowel disease, atopic dermatitis, Crohn's disease, autoimmune disorders and for treatment of gastrointestinal, esophageal, tracheal/bronchial, urethral and vascular obstructions wherein the lumen of a body passageway is expanded. Thus, macrocyclic lactone II, designated as ER 803064, was prepared via a multistep synthetic sequence with included a macrolactonization reaction of III to form the desired lactone ring. The prepared macrocycles were assayed for their effect on TNF-α and β-actin placental alkaline phosphatase transcription using human acute monocytic leukemia cells.

IT	791101-13-8	1080810-60-1	1080810-61-2
	1080810-62-3	1080810-64-5	1080810-65-6
	1080810-66-7	1080810-68-9	1080810-70-3
	1080810-71-4	1080810-72-5	1080810-73-6
	1080810-74-7	1080810-75-8	1080810-76-9
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	1080810-80-5	1080810-81-6	1080810-82-7

10/923,271

1080810-83-8 1080810-84-9 1080810-85-0
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1083006-06-7

RL: PRPH (Prophetic)

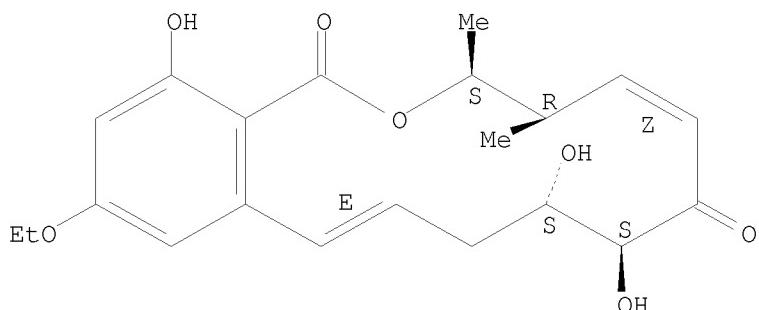
(Preparation of macrocyclic compounds for use in pharmaceutical and cosmetic compositions which regulate various genes involved in immune and inflammatory responses)

RN 791101-13-8 CAPLUS

CN 1H-2-Benzoxacyclotetradecin-1,7(8H)-dione,
14-ethoxy-3,4,9,10-tetrahydro-8,9,16-trihydroxy-3,4-dimethyl-,
(3S,4R,5Z,8S,9S,11E)- (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

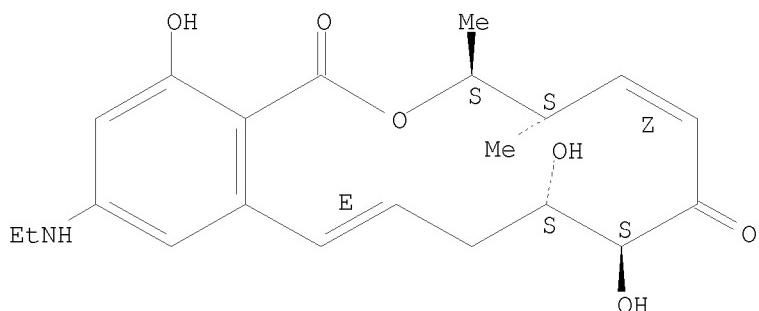


RN 1080810-60-1 CAPLUS

CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.

Double bond geometry as shown.

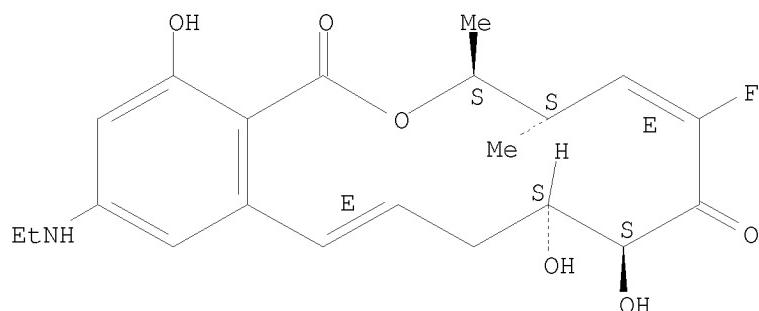


RN 1080810-61-2 CAPLUS

CN INDEX NAME NOT YET ASSIGNED

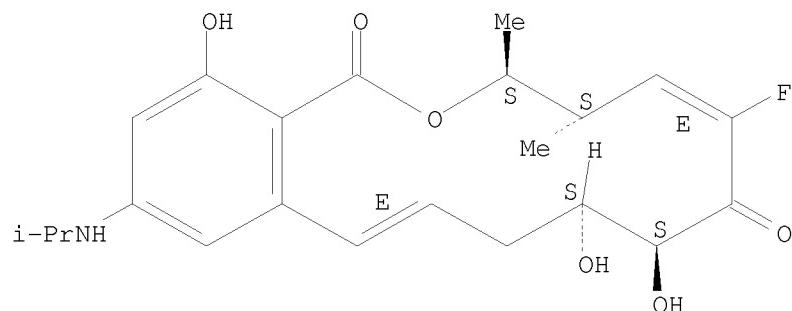
10/923, 271

Absolute stereochemistry.
Double bond geometry as shown.



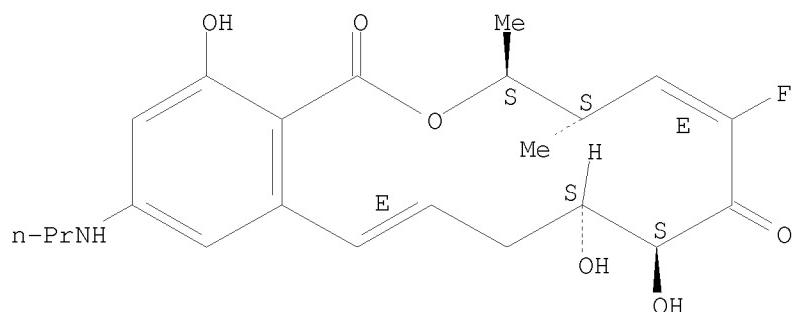
RN 1080810-62-3 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.
Double bond geometry as shown.



RN 1080810-64-5 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.
Double bond geometry as shown.



RN 1080810-65-6 CAPLUS

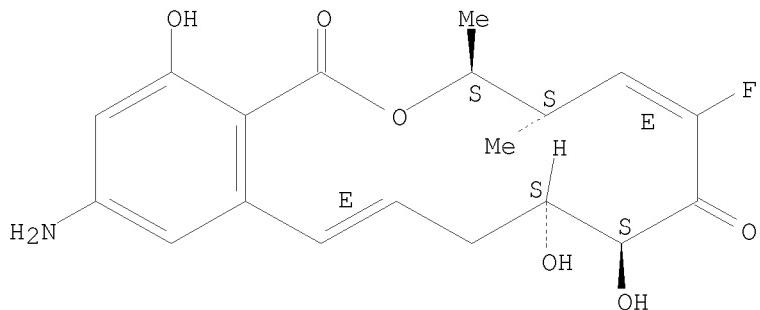
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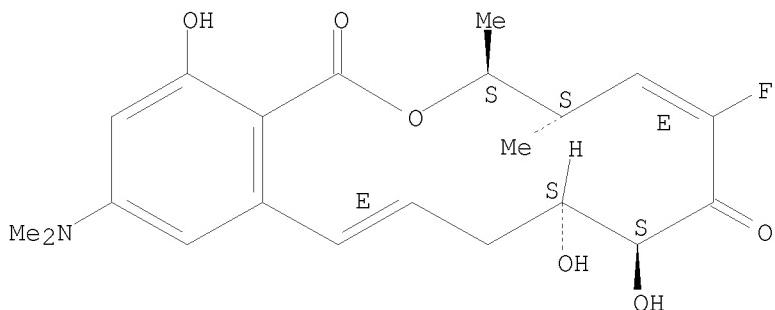
CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.
Double bond geometry as shown.



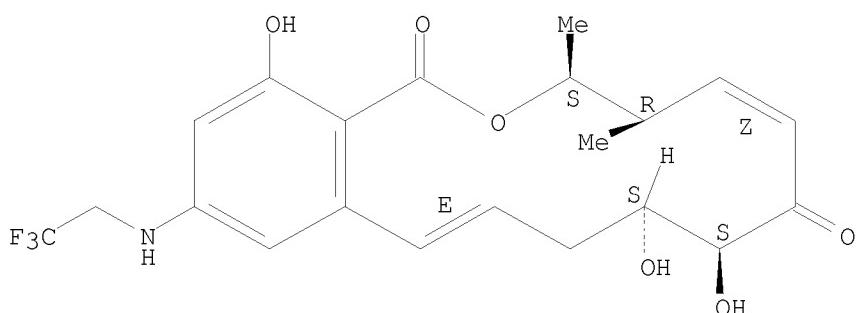
RN 1080810-66-7 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.
Double bond geometry as shown.



RN 1080810-68-9 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

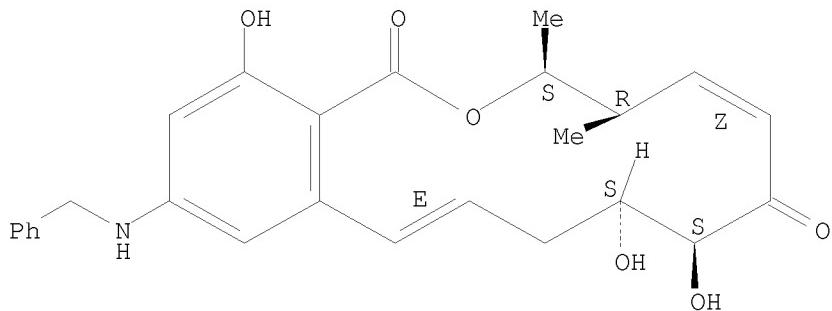
Absolute stereochemistry.
Double bond geometry as shown.



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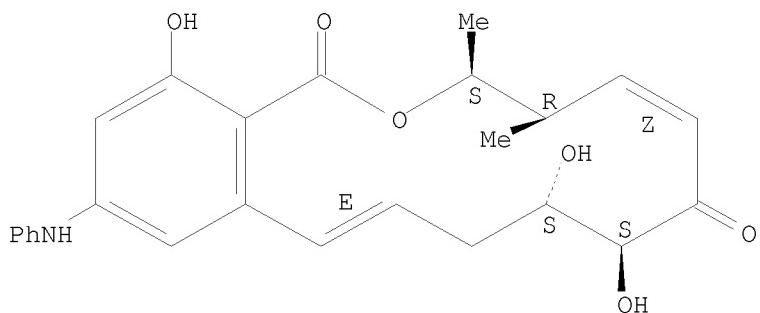
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CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.
Double bond geometry as shown.



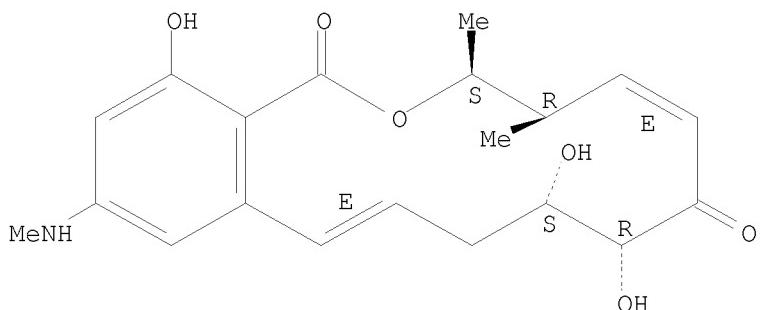
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CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.
Double bond geometry as shown.



RN 1080810-72-5 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

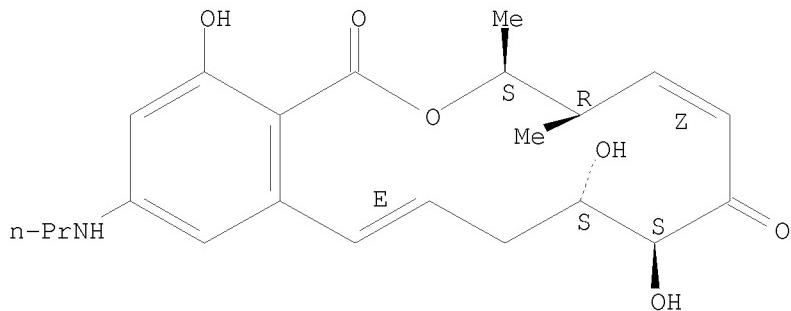
Absolute stereochemistry.
Double bond geometry as described by E or Z.



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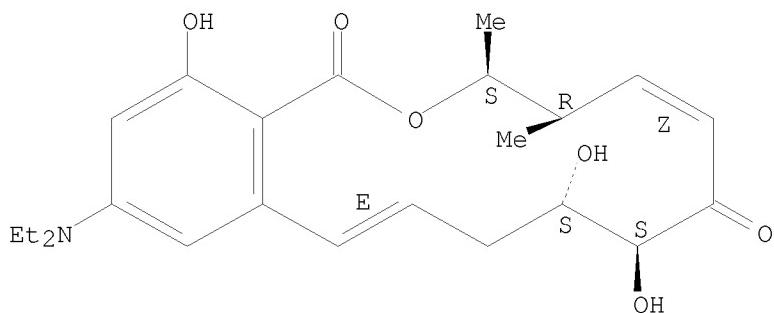
RN 1080810-73-6 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.
Double bond geometry as shown.



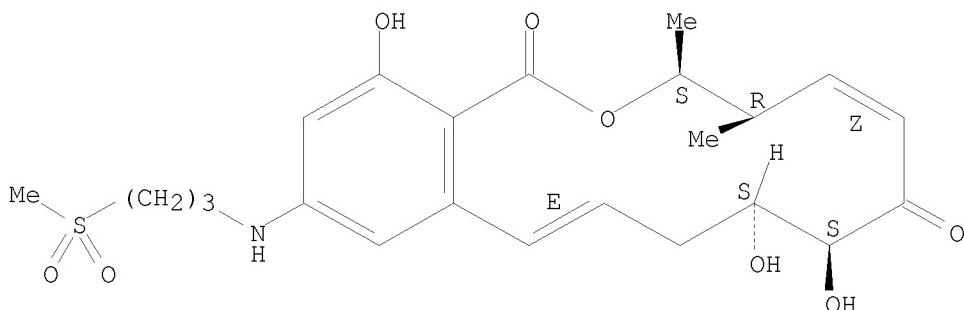
RN 1080810-74-7 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.
Double bond geometry as shown.



RN 1080810-75-8 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

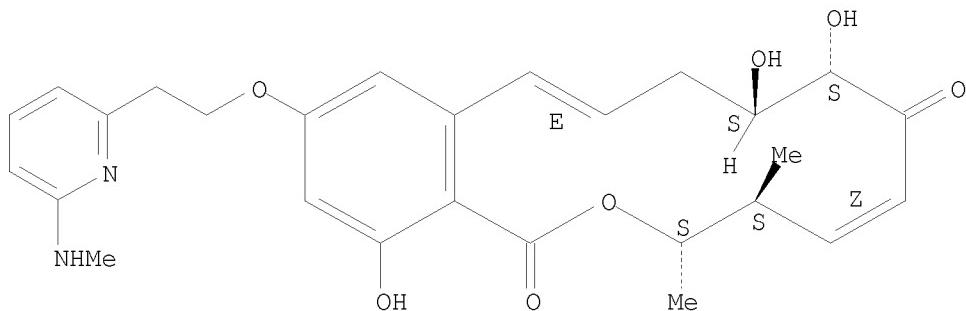
Absolute stereochemistry.
Double bond geometry as shown.



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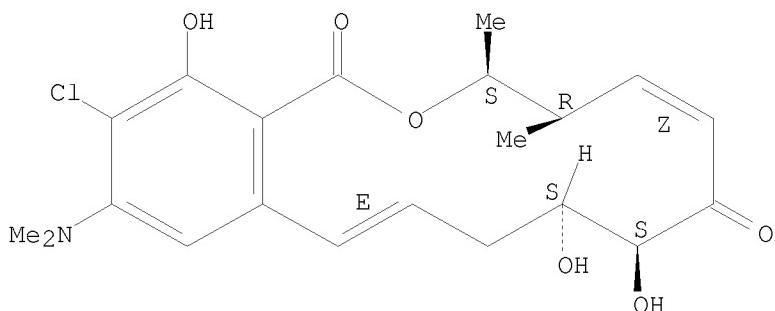
RN 1080810-76-9 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.
Double bond geometry as shown.



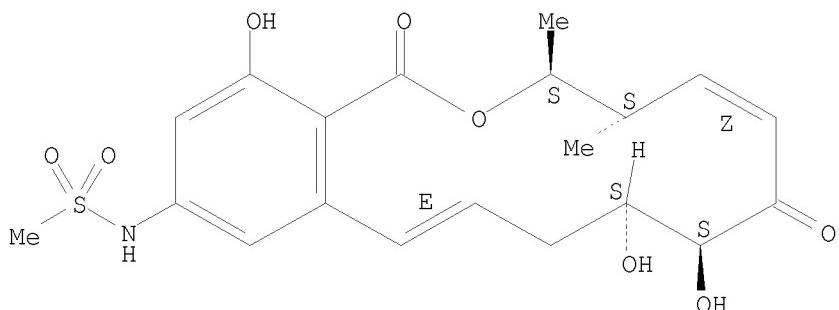
RN 1080810-77-0 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.
Double bond geometry as shown.



RN 1080810-78-1 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

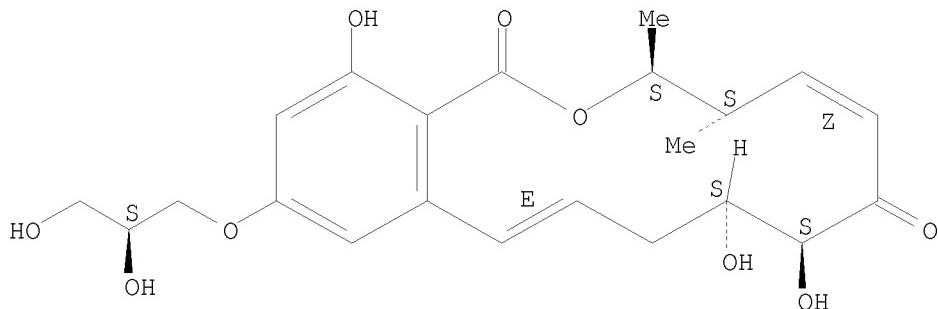
Absolute stereochemistry.
Double bond geometry as shown.



10/923,271

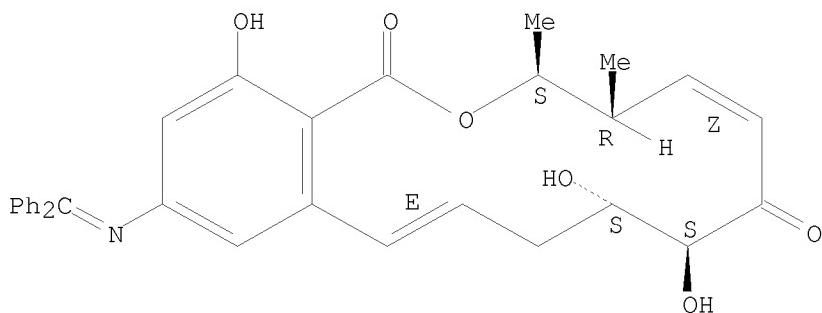
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CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.
Double bond geometry as shown.



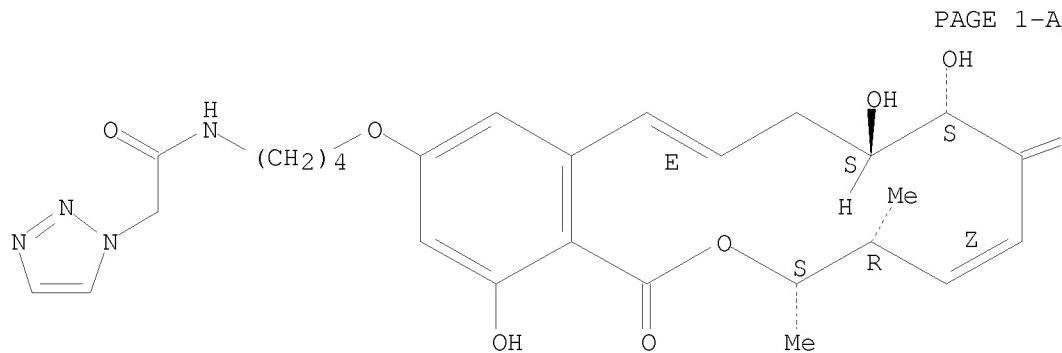
RN 1080810-80-5 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.
Double bond geometry as shown.



RN 1080810-81-6 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

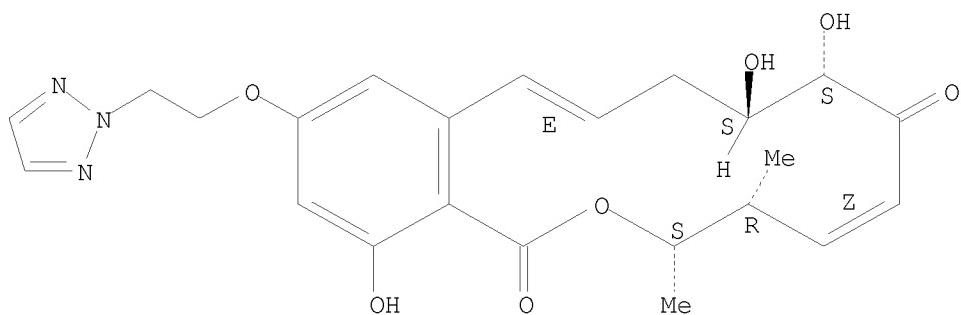
Absolute stereochemistry.
Double bond geometry as shown.



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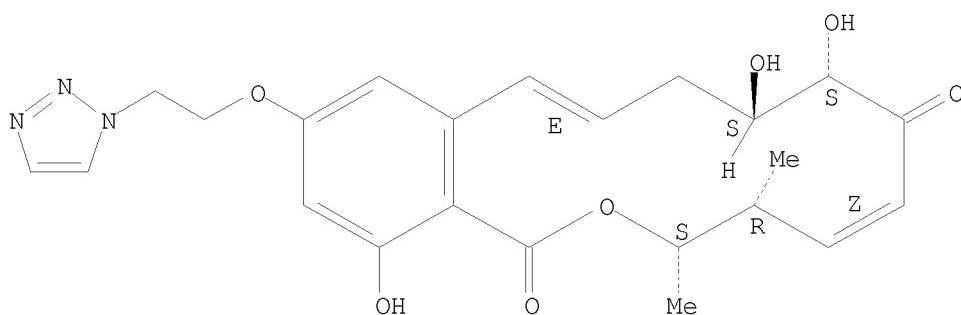
RN 1080810-82-7 CAPLUS
 CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.
 Double bond geometry as shown.



RN 1080810-83-8 CAPLUS
 CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.
 Double bond geometry as shown.

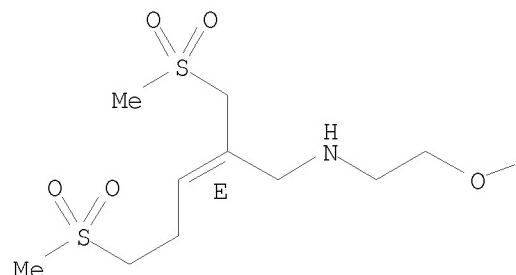


RN 1080810-84-9 CAPLUS
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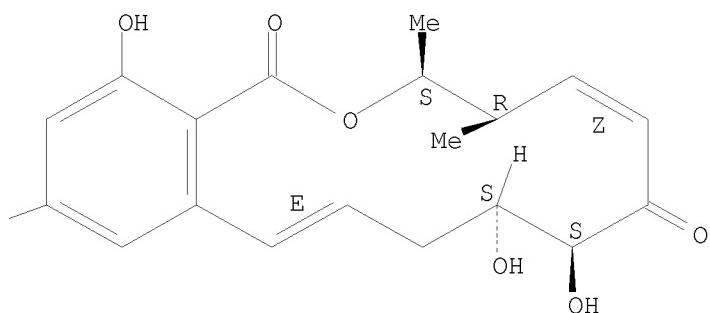
Absolute stereochemistry.
 Double bond geometry as shown.

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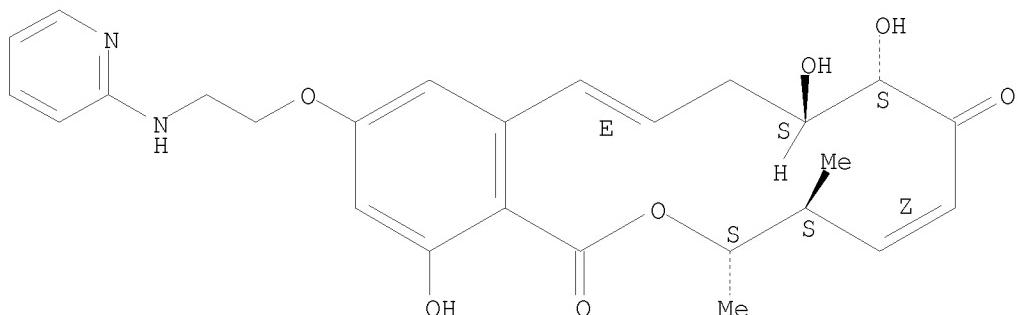


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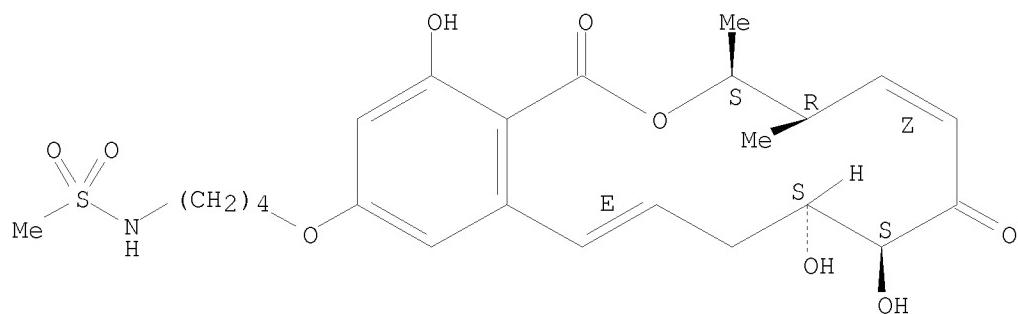
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CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.
Double bond geometry as shown.



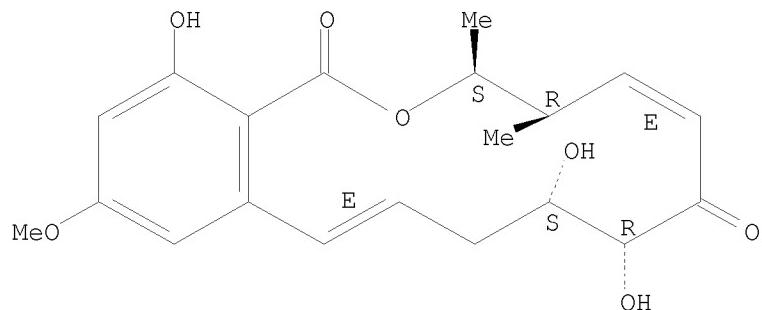
RN 1080810-86-1 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.
Double bond geometry as shown.



RN 1080810-87-2 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

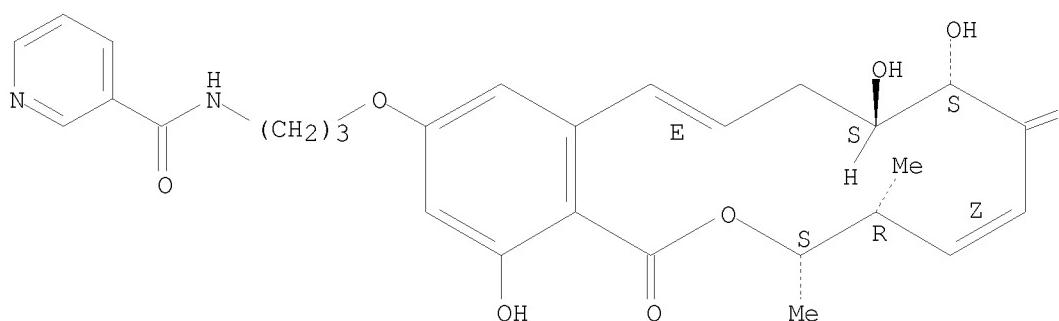
Absolute stereochemistry.
Double bond geometry as described by E or Z.



RN 1080810-88-3 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.
Double bond geometry as shown.

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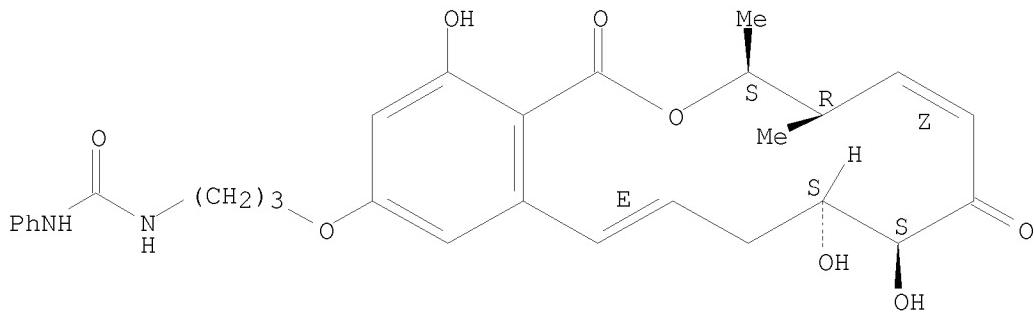
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PAGE 1-B



RN 1080810-89-4 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

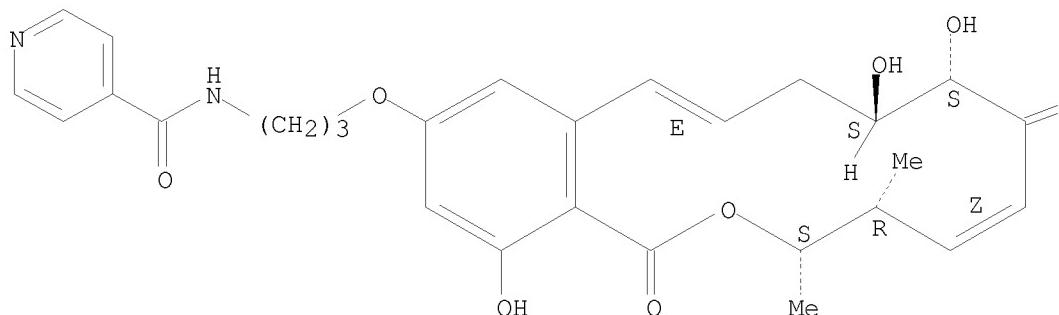
Absolute stereochemistry.
Double bond geometry as shown.



RN 1080810-90-7 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.
Double bond geometry as shown.

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PAGE 1-B



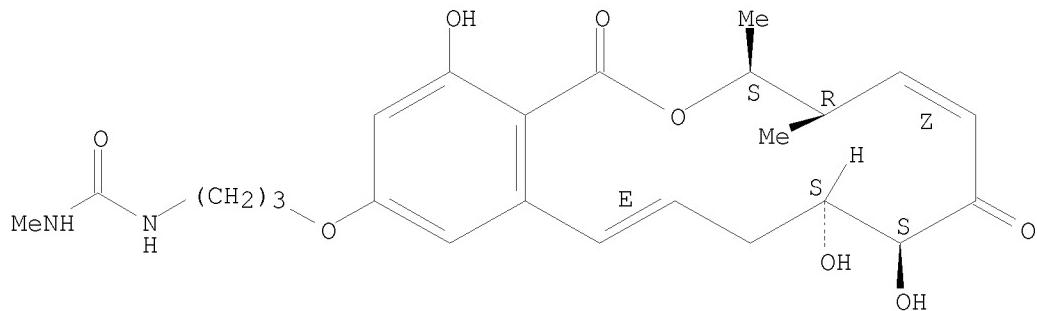
TOh

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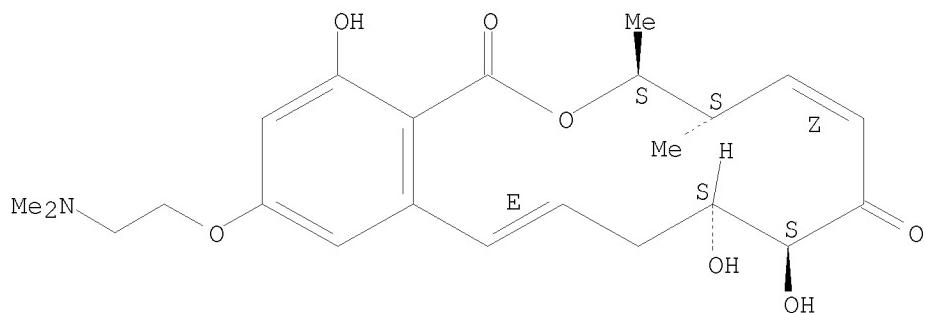
RN 1080810-91-8 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.
Double bond geometry as shown.



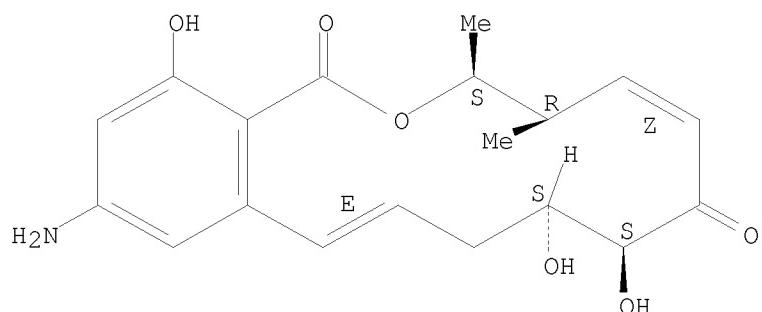
RN 1080810-92-9 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.
Double bond geometry as shown.



RN 1080810-93-0 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

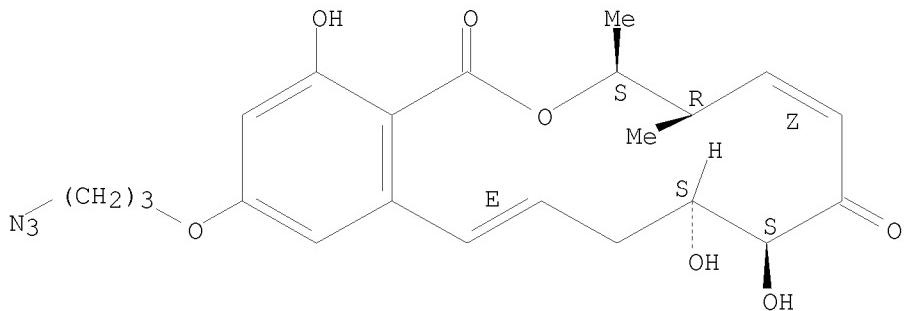
Absolute stereochemistry.
Double bond geometry as shown.



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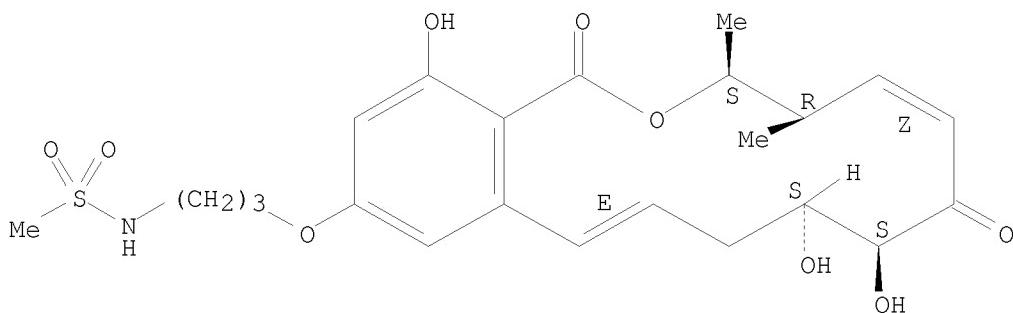
RN 1080810-95-2 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.
Double bond geometry as shown.



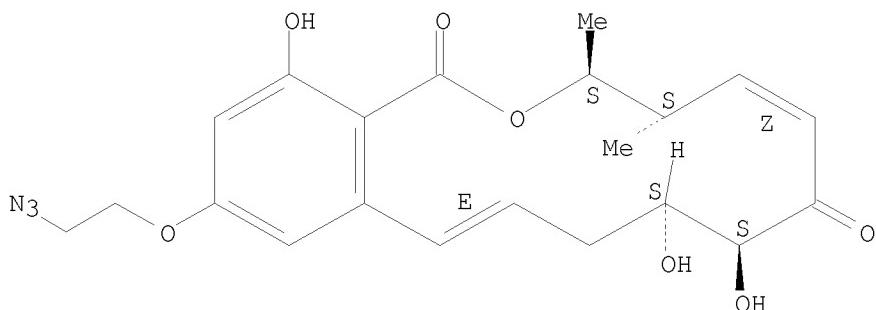
RN 1080810-96-3 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.
Double bond geometry as shown.



RN 1080810-97-4 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

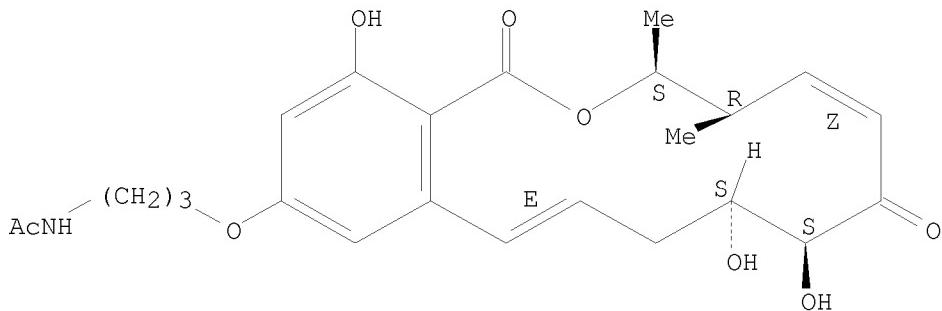
Absolute stereochemistry.
Double bond geometry as shown.



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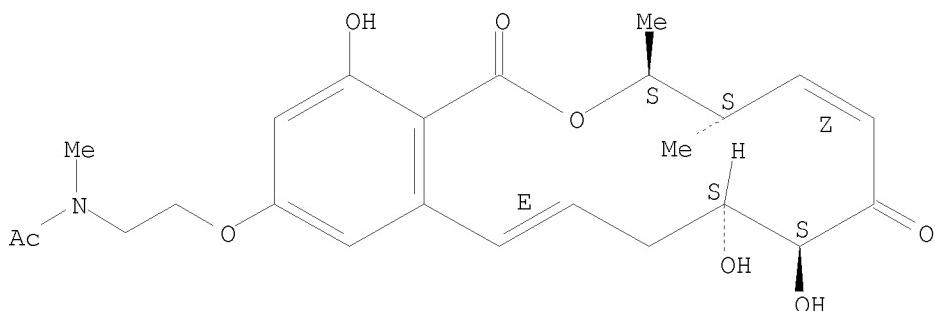
RN 1080810-98-5 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.
Double bond geometry as shown.



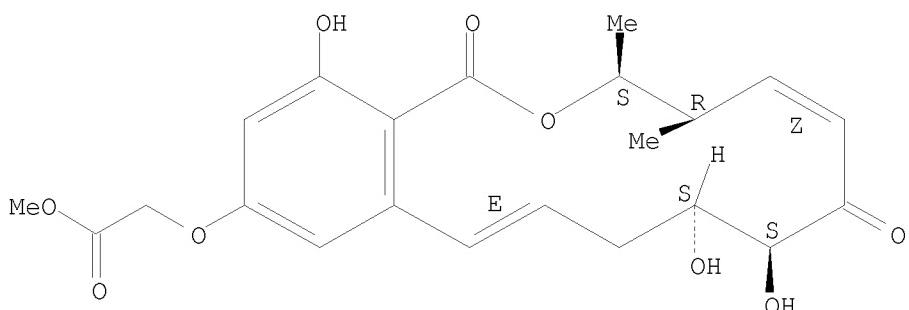
RN 1080810-99-6 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.
Double bond geometry as shown.



RN 1080811-01-3 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

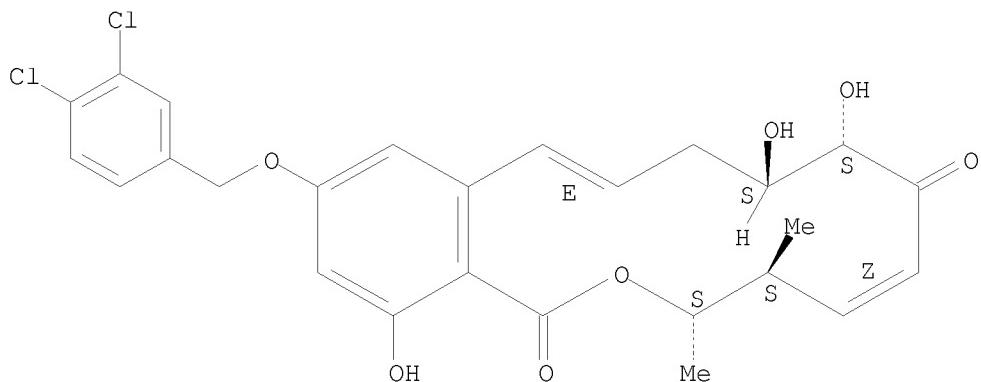
Absolute stereochemistry.
Double bond geometry as shown.



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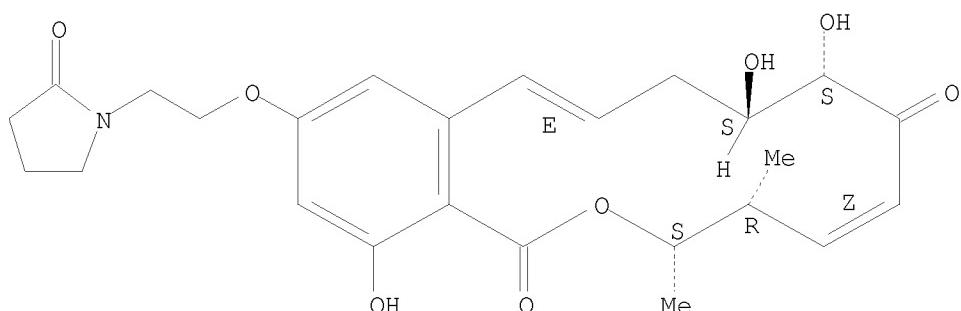
RN 1080811-02-4 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.
Double bond geometry as shown.



RN 1080811-03-5 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

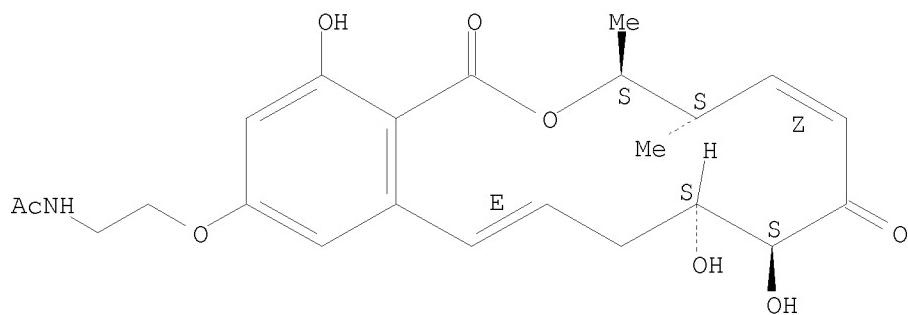
Absolute stereochemistry.
Double bond geometry as shown.



RN 1080811-04-6 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

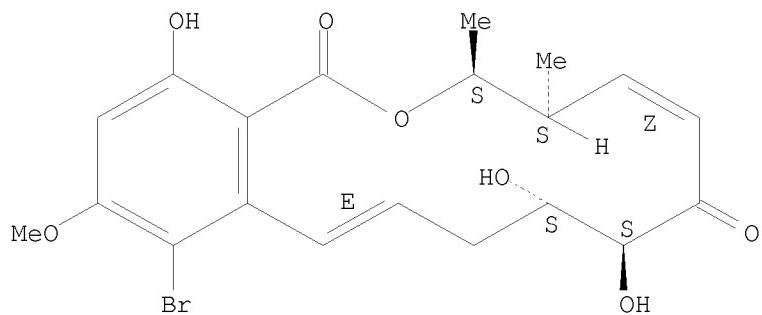
Absolute stereochemistry.
Double bond geometry as shown.

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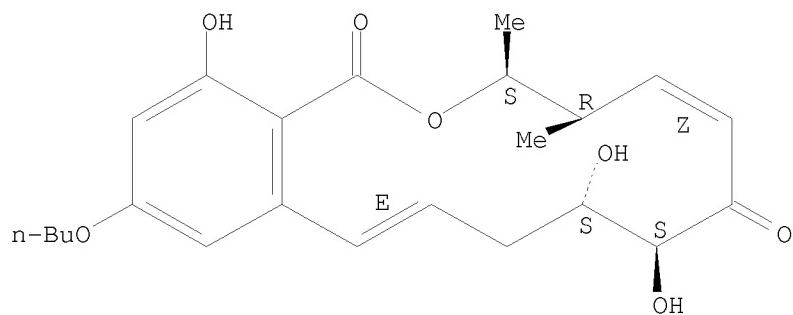
RN 1080811-05-7 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.
Double bond geometry as shown.



RN 1080811-06-8 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

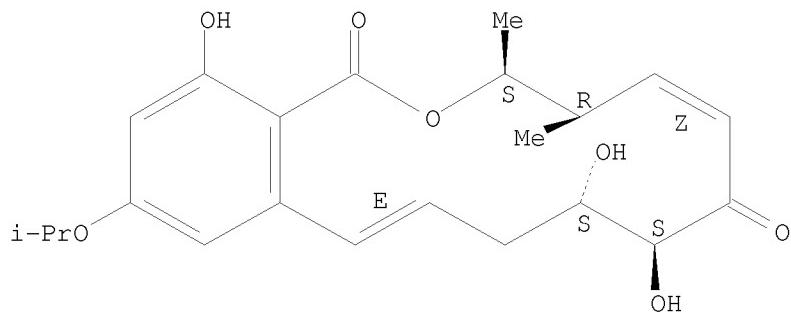
Absolute stereochemistry.
Double bond geometry as shown.



RN 1080811-07-9 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

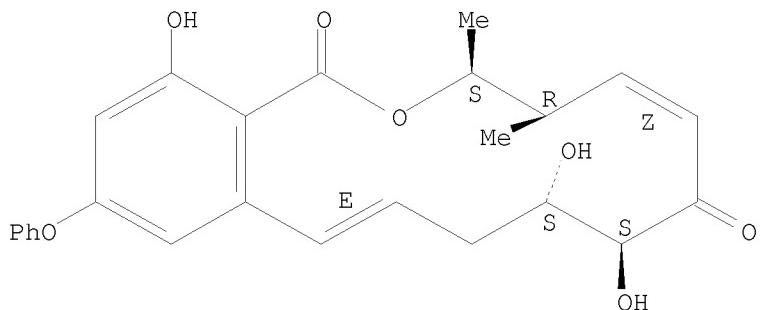
Absolute stereochemistry.
Double bond geometry as shown.

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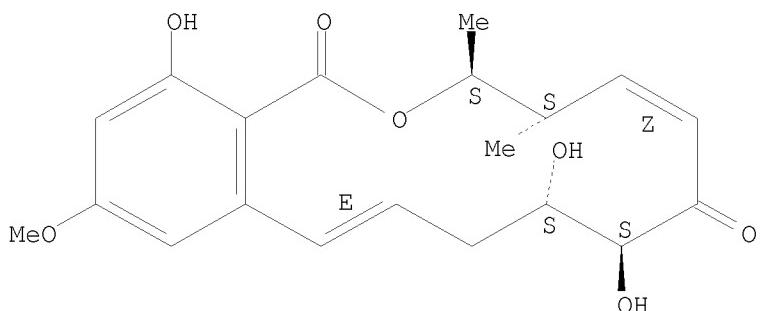
RN 1080811-08-0 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.
Double bond geometry as shown.



RN 1080811-09-1 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.
Double bond geometry as shown.

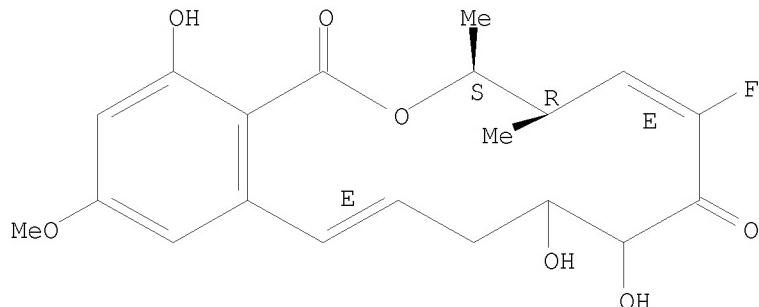


RN 1080811-11-5 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.

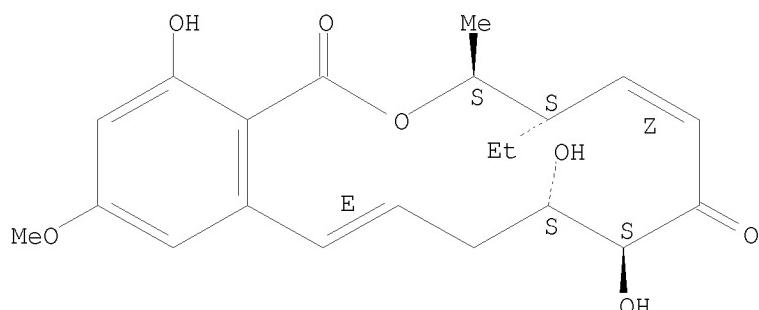
10/923,271

Double bond geometry as shown.



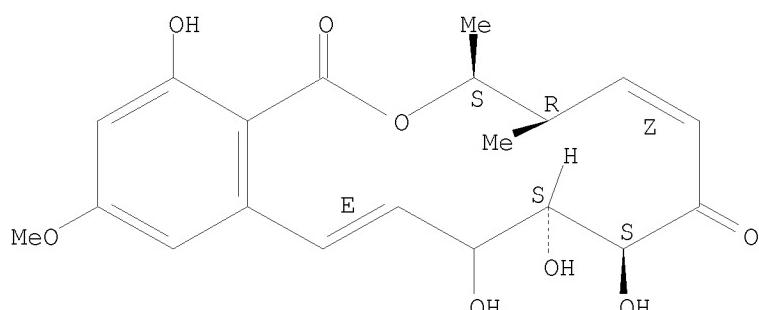
RN 1080811-12-6 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.
Double bond geometry as shown.



RN 1083006-06-7 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.
Double bond geometry as shown.



IT 603044-46-8P 603045-38-1P 603045-40-5P
603045-42-7P 603045-44-9P, ER 807563
603045-45-0P 603045-46-1P 603151-24-2P, ER

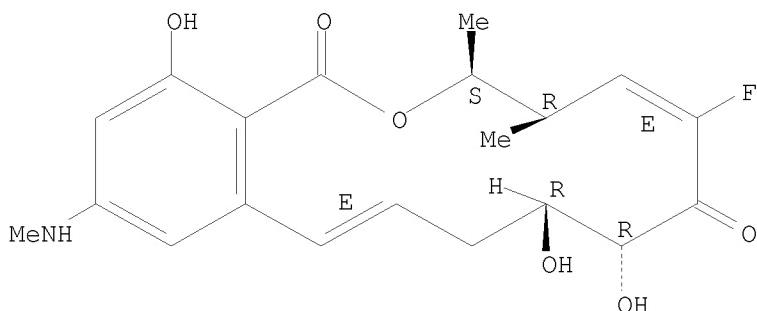
803064 603151-32-2P 603151-34-4P, ER 804019
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 , NF 2556 603985-63-3P 603985-64-4P
 603985-65-5P 603985-69-9P 603985-70-2P, ER
 804606 603985-72-4P 603985-73-5P 603985-74-6P
 603985-75-7P 603985-76-8P 603985-77-9P
 603985-78-0P 603986-04-5P, ER 804387
 603987-34-4P 603987-35-5P 603987-75-3P
 603987-93-5P, ER 806821 603988-36-9P
 RL: COS (Cosmetic use); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of macrocyclic compds. for use in pharmaceutical and cosmetic compns. which regulate various genes involved in immune and inflammatory responses)

RN 603044-46-8 CAPLUS

CN 1H-2-Benzoxacyclotetradecin-1,7(8H)-dione,
 6-fluoro-3,4,9,10-tetrahydro-8,9,16-trihydroxy-3,4-dimethyl-14-(methylamino)-, (3S,4R,5E,8R,9R,11E)- (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

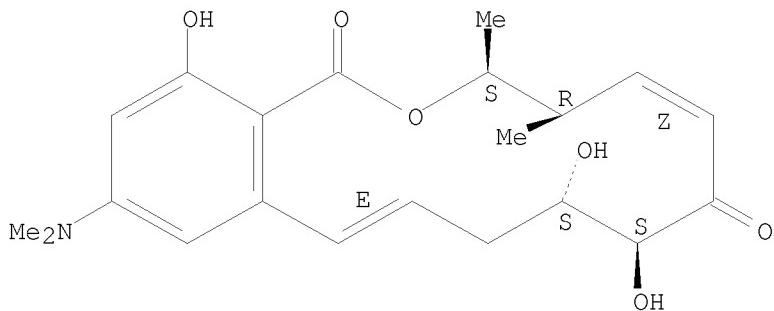


RN 603045-38-1 CAPLUS

CN 1H-2-Benzoxacyclotetradecin-1,7(8H)-dione,
 14-(dimethylamino)-3,4,9,10-tetrahydro-8,9,16-trihydroxy-3,4-dimethyl-,
 (3S,4R,5Z,8S,9S,11E)- (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.



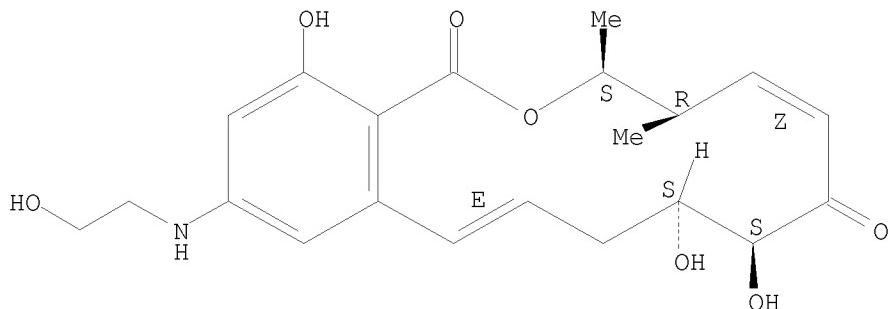
10/923, 271

RN 603045-40-5 CAPLUS

CN 1H-2-Benzoxacyclotetradecin-1,7(8H)-dione,
3,4,9,10-tetrahydro-8,9,16-trihydroxy-14-[(2-hydroxyethyl)amino]-3,4-dimethyl-, (3S,4R,5Z,8S,9S,11E)- (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

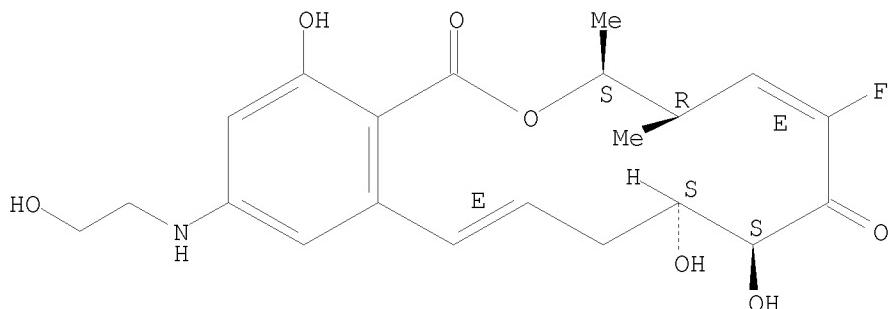


RN 603045-42-7 CAPLUS

CN 1H-2-Benzoxacyclotetradecin-1,7(8H)-dione,
6-fluoro-3,4,9,10-tetrahydro-8,9,16-trihydroxy-14-[(2-hydroxyethyl)amino]-3,4-dimethyl-, (3S,4R,5E,8S,9S,11E)- (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

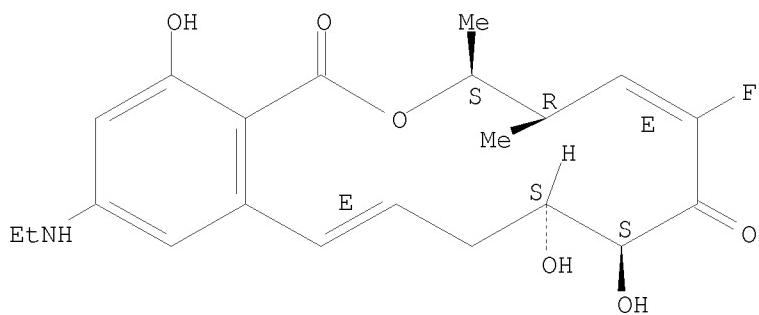


RN 603045-44-9 CAPLUS

CN 1H-2-Benzoxacyclotetradecin-1,7(8H)-dione,
14-(ethylamino)-6-fluoro-3,4,9,10-tetrahydro-8,9,16-trihydroxy-3,4-dimethyl-, (3S,4R,5E,8S,9S,11E)- (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

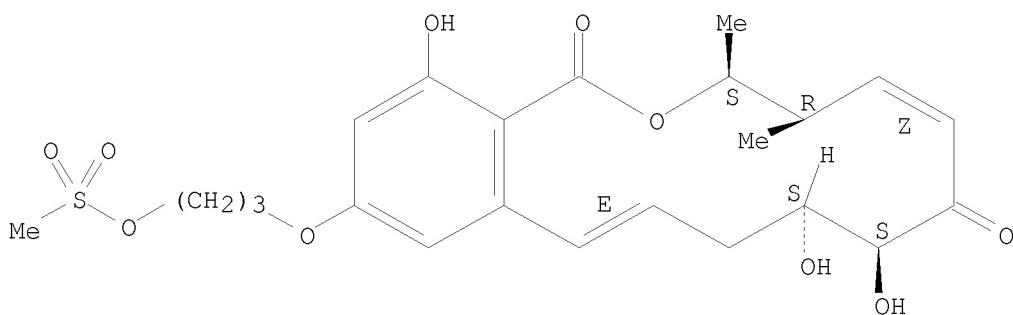


RN 603045-45-0 CAPLUS

CN 1H-2-Benzoxacyclotetradecin-1,7(8H)-dione,
3,4,9,10-tetrahydro-8,9,16-trihydroxy-3,4-dimethyl-14-[3-
[(methylsulfonyl)oxy]propoxy]-, (3S,4R,5Z,8S,9S,11E)- (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

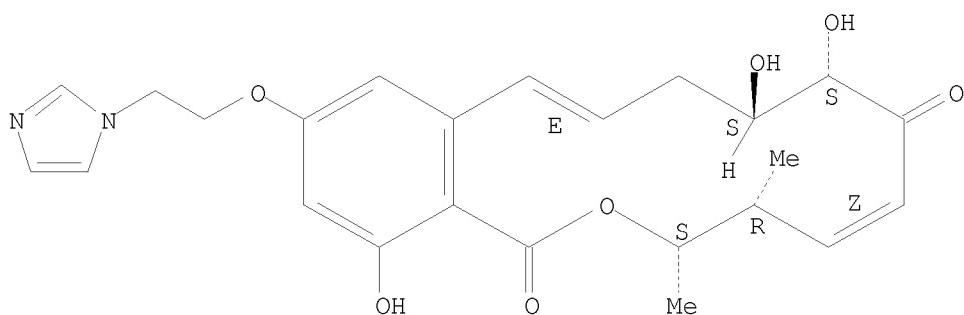


RN 603045-46-1 CAPLUS

CN 1H-2-Benzoxacyclotetradecin-1,7(8H)-dione,
3,4,9,10-tetrahydro-8,9,16-trihydroxy-14-[2-(1H-imidazol-1-yl)ethoxy]-3,4-
dimethyl-, (3S,4R,5Z,8S,9S,11E)- (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

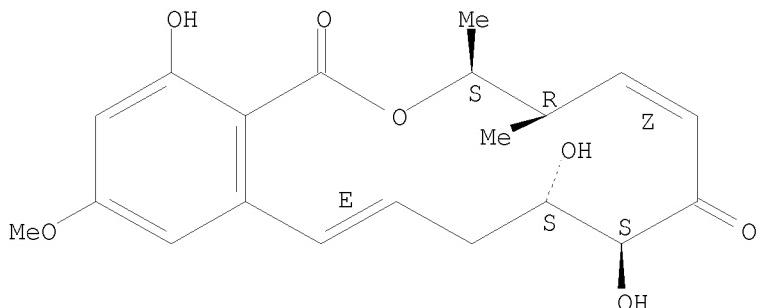


RN 603151-24-2 CAPLUS

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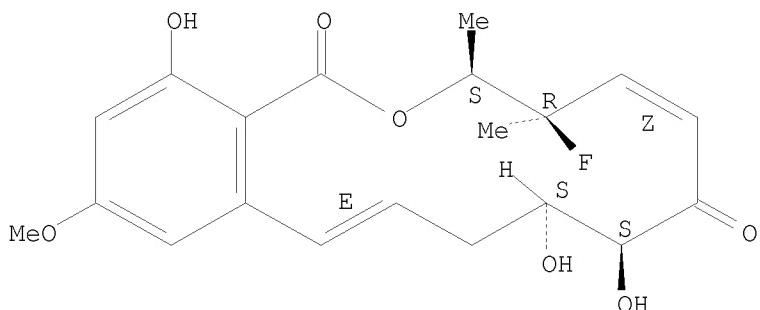
CN 1H-2-Benzoxacyclotetradecin-1,7(8H)-dione,
3, 4, 9, 10-tetrahydro-8, 9, 16-trihydroxy-14-methoxy-3, 4-dimethyl-,
(3S, 4R, 5Z, 8S, 9S, 11E)- (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



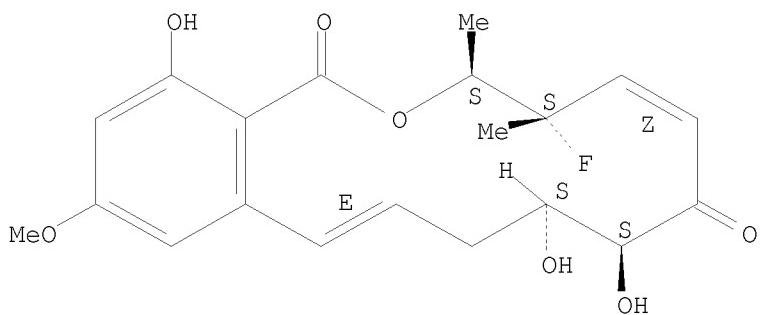
RN 603151-32-2 CAPLUS
CN 1H-2-Benzoxacyclotetradecin-1,7(8H)-dione,
4-fluoro-3, 4, 9, 10-tetrahydro-8, 9, 16-trihydroxy-14-methoxy-3, 4-dimethyl-,
(3S, 4R, 5Z, 8S, 9S, 11E)- (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



RN 603151-34-4 CAPLUS
CN 1H-2-Benzoxacyclotetradecin-1,7(8H)-dione,
4-fluoro-3, 4, 9, 10-tetrahydro-8, 9, 16-trihydroxy-14-methoxy-3, 4-dimethyl-,
(3S, 4S, 5Z, 8S, 9S, 11E)- (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

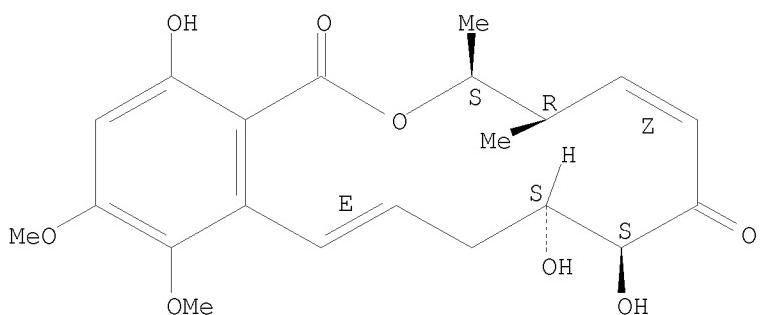


RN 603985-37-1 CAPLUS

CN 1H-2-Benzoxacyclotetradecin-1,7(8H)-dione,
3,4,9,10-tetrahydro-8,9,16-trihydroxy-13,14-dimethoxy-3,4-dimethyl-,
(3S,4R,5Z,8S,9S,11E)- (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

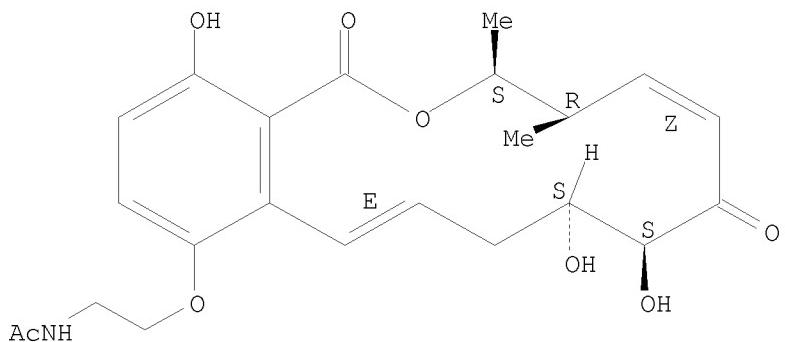


RN 603985-40-6 CAPLUS

CN Acetamide, N-[2-[(3S,4R,5Z,8S,9S,11E)-3,4,7,8,9,10-hexahydro-8,9,16-trihydroxy-3,4-dimethyl-1,7-dioxo-1H-2-benzoxacyclotetradecin-13-yl]oxy]ethyl]- (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.



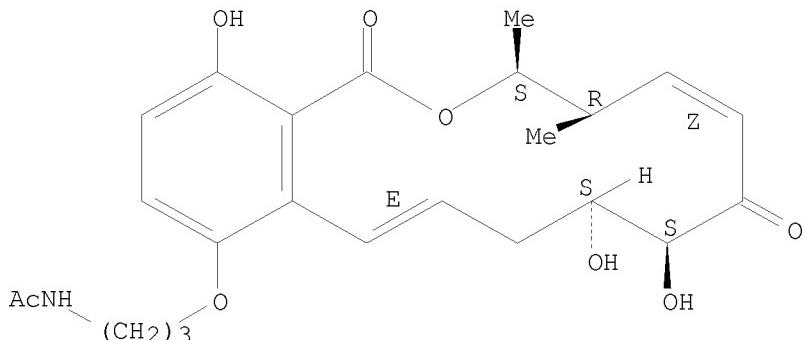
10/923,271

RN 603985-41-7 CAPLUS

CN Acetamide, N-[3-[(3S,4R,5Z,8S,9S,11E)-3,4,7,8,9,10-hexahydro-8,9,16-trihydroxy-3,4-dimethyl-1,7-dioxo-1H-2-benzoxacyclotetradecin-13-yl]oxylpropyl]- (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

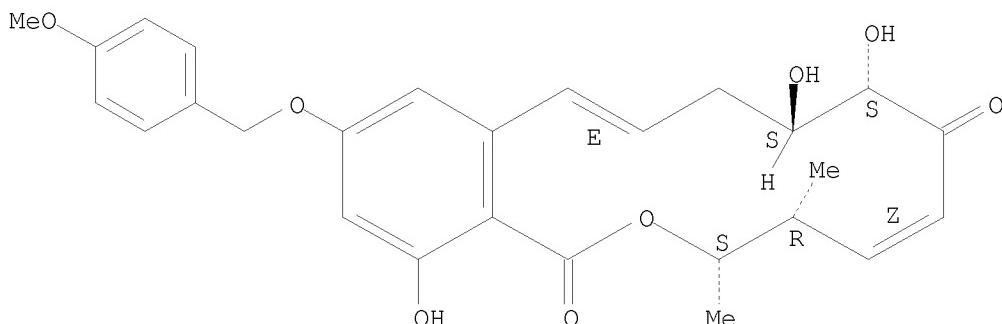


RN 603985-63-3 CAPLUS

CN 1H-2-Benzoxacyclotetradecin-1,7(8H)-dione,
3,4,9,10-tetrahydro-8,9,16-trihydroxy-14-[(4-methoxyphenyl)methoxy]-3,4-dimethyl-, (3S,4R,5Z,8S,9S,11E)- (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

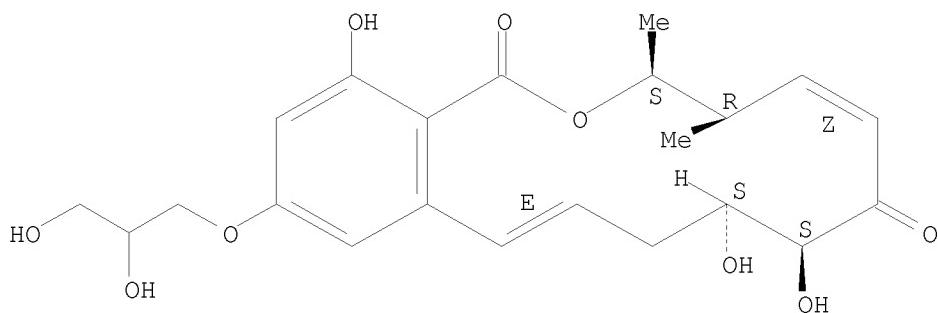


RN 603985-64-4 CAPLUS

CN 1H-2-Benzoxacyclotetradecin-1,7(8H)-dione,
14-(2,3-dihydroxypropoxy)-3,4,9,10-tetrahydro-8,9,16-trihydroxy-3,4-dimethyl-, (3S,4R,5Z,8S,9S,11E)- (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

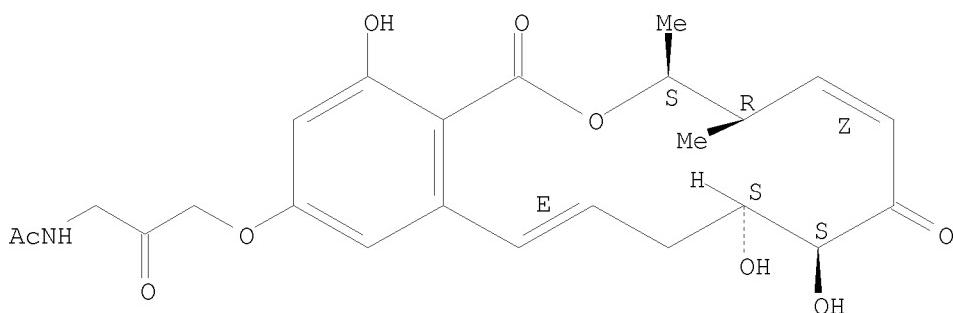


RN 603985-65-5 CAPLUS

CN Acetamide, N-[3-[(3S,4R,5Z,8S,9S,11E)-3,4,7,8,9,10-hexahydro-8,9,16-trihydroxy-3,4-dimethyl-1,7-dioxo-1H-2-benzoxacyclotetradecin-14-yl]oxy]-2-oxopropyl]- (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

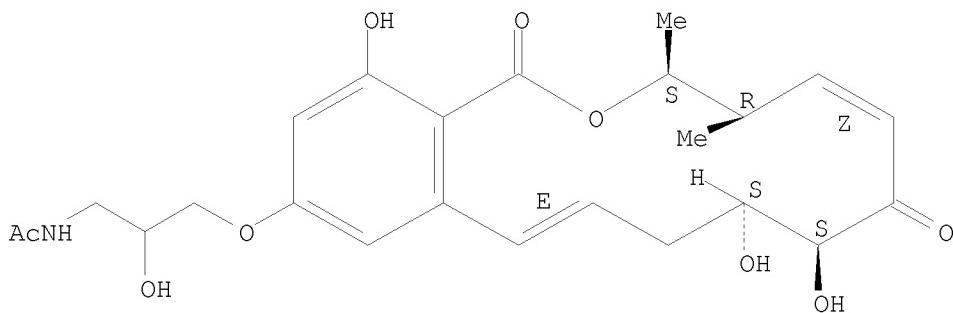


RN 603985-69-9 CAPLUS

CN Acetamide, N-[3-[(3S,4R,5Z,8S,9S,11E)-3,4,7,8,9,10-hexahydro-8,9,16-trihydroxy-3,4-dimethyl-1,7-dioxo-1H-2-benzoxacyclotetradecin-14-yl]oxy]-2-hydroxypropyl]- (CA INDEX NAME)

Absolute stereochemistry.

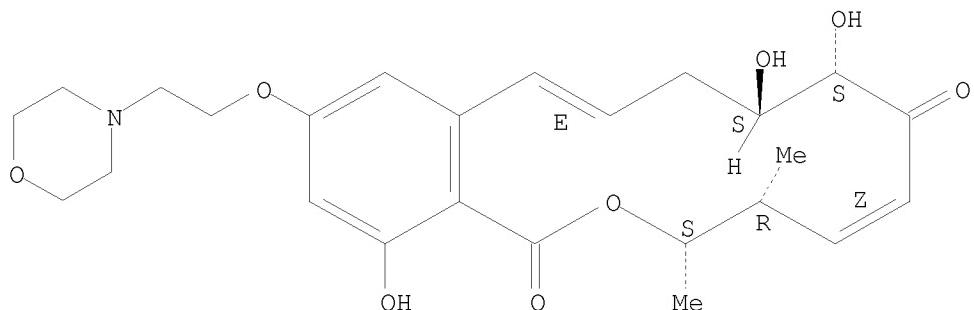
Double bond geometry as shown.



RN 603985-70-2 CAPLUS

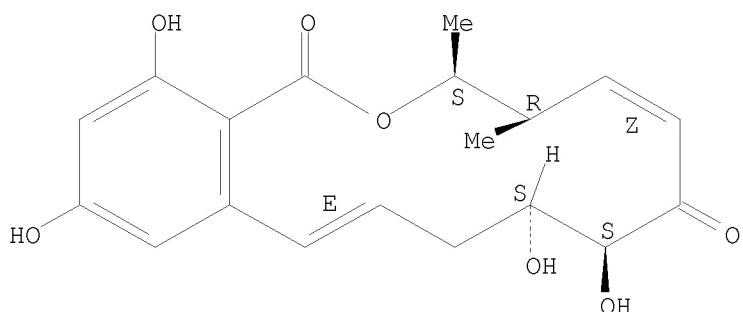
CN 1H-2-Benzoxacyclotetradecin-1,7(8H)-dione,
3,4,9,10-tetrahydro-8,9,16-trihydroxy-3,4-dimethyl-14-[2-(4-morpholinyl)ethoxy]-, (3S,4R,5Z,8S,9S,11E)- (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



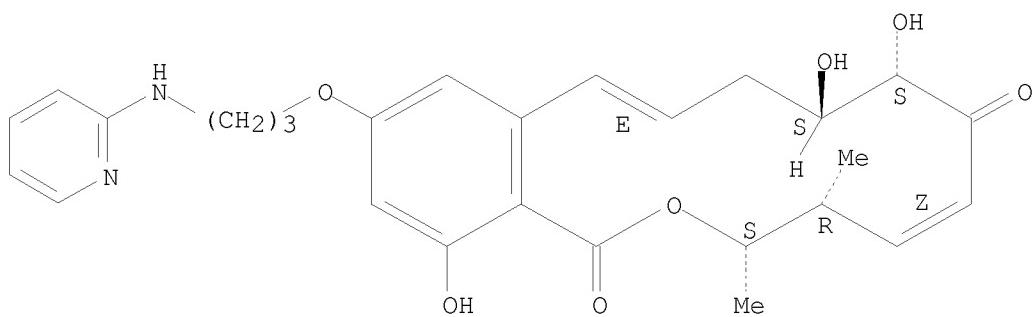
RN 603985-72-4 CAPLUS
CN 1H-2-Benzoxacyclotetradecin-1,7(8H)-dione,
3,4,9,10-tetrahydro-8,9,14,16-tetrahydroxy-3,4-dimethyl-,
(3S,4R,5Z,8S,9S,11E)- (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



RN 603985-73-5 CAPLUS
CN 1H-2-Benzoxacyclotetradecin-1,7(8H)-dione,
3,4,9,10-tetrahydro-8,9,16-trihydroxy-3,4-dimethyl-14-[3-(2-pyridinylamino)propoxy]-, (3S,4R,5Z,8S,9S,11E)- (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

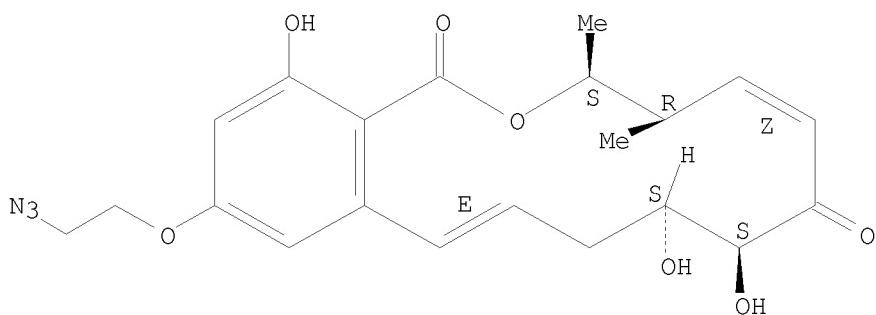


RN 603985-74-6 CAPLUS

CN 1H-2-Benzoxacycyclotetradecin-1,7(8H)-dione,
14-(2-azidoethoxy)-3,4,9,10-tetrahydro-8,9,16-trihydroxy-3,4-dimethyl-,
(3S,4R,5Z,8S,9S,11E)- (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.



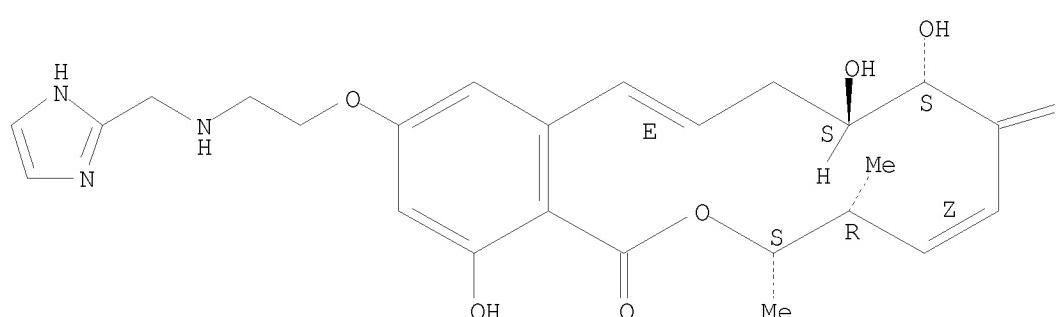
RN 603985-75-7 CAPLUS

CN 1H-2-Benzoxacycyclotetradecin-1,7(8H)-dione,
3,4,9,10-tetrahydro-8,9,16-trihydroxy-14-[2-[(1H-imidazol-2-ylmethyl)amino]ethoxy]-3,4-dimethyl-, (3S,4R,5Z,8S,9S,11E)- (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

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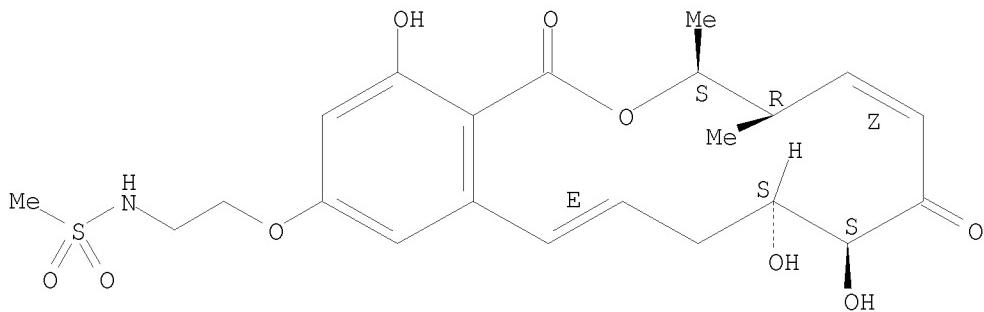
$\equiv O$

RN 603985-76-8 CAPLUS

CN Methanesulfonamide, N-[2-[[[(3S,4R,5Z,8S,9S,11E)-3,4,7,8,9,10-hexahydro-8,9,16-trihydroxy-3,4-dimethyl-1,7-dioxo-1H-2-benzoxacyclotetradecin-14-yl]oxy]ethyl]- (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

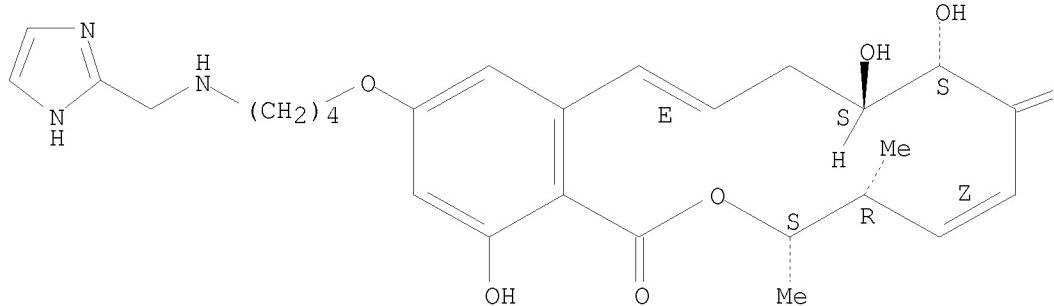


RN 603985-77-9 CAPLUS

CN 1H-2-Benzoxacyclotetradecin-1,7(8H)-dione,
3,4,9,10-tetrahydro-8,9,16-trihydroxy-14-[4-[(1H-imidazol-2-ylmethyl)amino]butoxy]-3,4-dimethyl-, (3S,4R,5Z,8S,9S,11E)- (CA INDEX NAME)

Absolute stereochemistry.

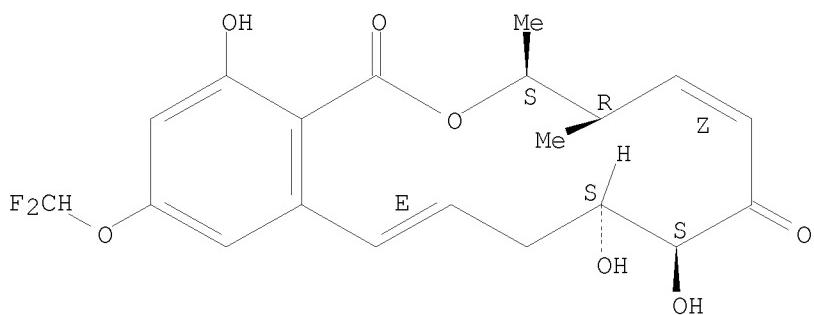
Double bond geometry as shown.



$\text{O}=\text{O}$

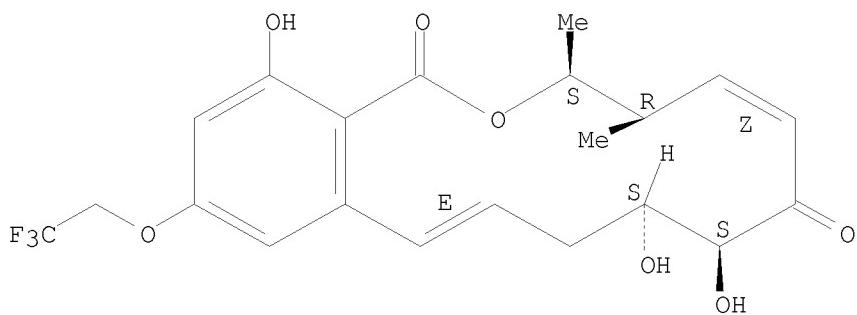
RN 603985-78-0 CAPLUS
 CN 1H-2-Benzoxacyclotetradecin-1,7(8H)-dione,
 14-(difluoromethoxy)-3,4,9,10-tetrahydro-8,9,16-trihydroxy-3,4-dimethyl-,
 (3S,4R,5Z,8S,9S,11E)- (CA INDEX NAME)

Absolute stereochemistry.
 Double bond geometry as shown.



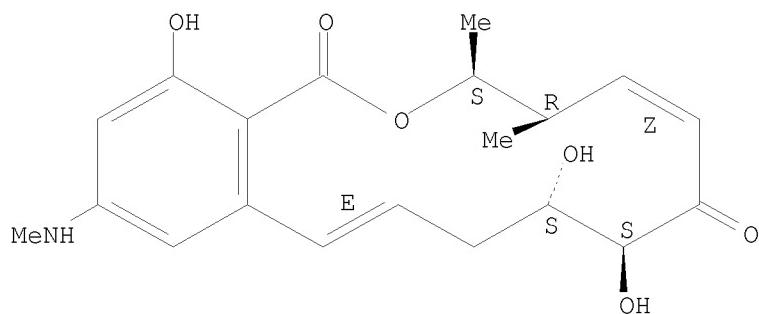
RN 603986-04-5 CAPLUS
 CN 1H-2-Benzoxacyclotetradecin-1,7(8H)-dione,
 3,4,9,10-tetrahydro-8,9,16-trihydroxy-3,4-dimethyl-14-(2,2,2-trifluoroethoxy)-, (3S,4R,5Z,8S,9S,11E)- (CA INDEX NAME)

Absolute stereochemistry.
 Double bond geometry as shown.



RN 603987-34-4 CAPLUS
 CN 1H-2-Benzoxacyclotetradecin-1,7(8H)-dione,
 3,4,9,10-tetrahydro-8,9,16-trihydroxy-3,4-dimethyl-14-(methylamino)-,
 (3S,4R,5Z,8S,9S,11E)- (CA INDEX NAME)

Absolute stereochemistry.
 Double bond geometry as shown.

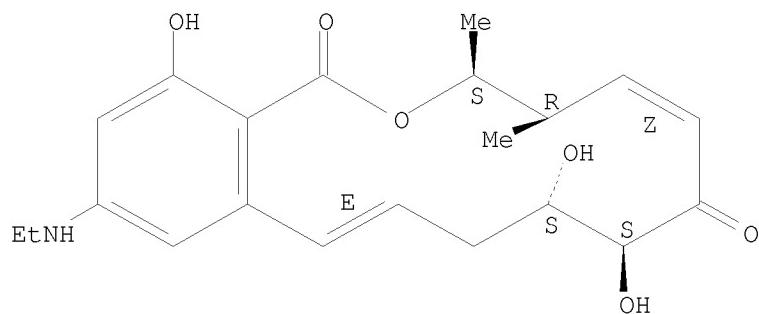


RN 603987-35-5 CAPLUS

CN 1H-2-Benzoxacycyclotetradecin-1,7(8H)-dione,
14-(ethylamino)-3,4,9,10-tetrahydro-8,9,16-trihydroxy-3,4-dimethyl-,
(3S,4R,5Z,8S,9S,11E)- (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

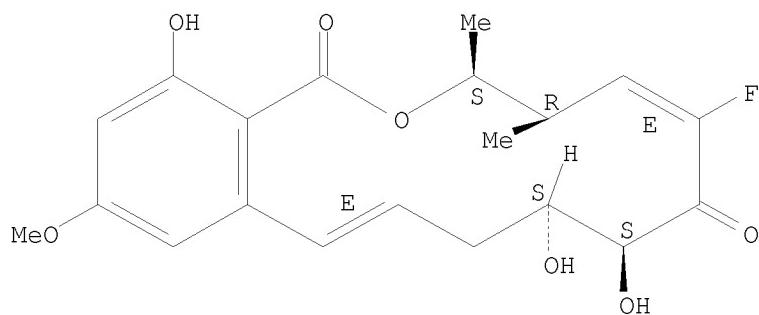


RN 603987-75-3 CAPLUS

CN 1H-2-Benzoxacycyclotetradecin-1,7(8H)-dione,
6-fluoro-3,4,9,10-tetrahydro-8,9,16-trihydroxy-14-methoxy-3,4-dimethyl-,
(3S,4R,5E,8S,9S,11E)- (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.



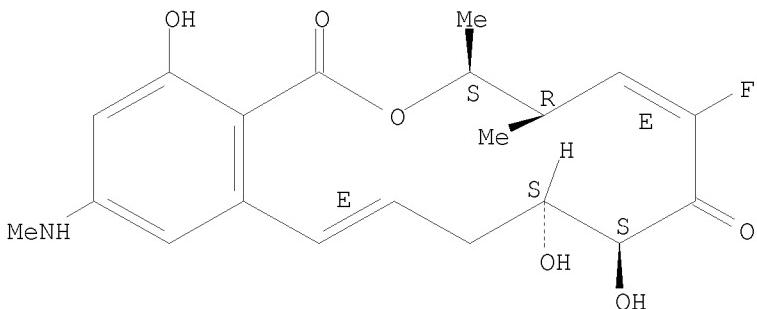
10/923, 271

RN 603987-93-5 CAPLUS

CN 1H-2-Benzoxacyclotetradecin-1,7(8H)-dione,
6-fluoro-3,4,9,10-tetrahydro-8,9,16-trihydroxy-3,4-dimethyl-14-
(methylamino)-, (3S,4R,5E,8S,9S,11E)- (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

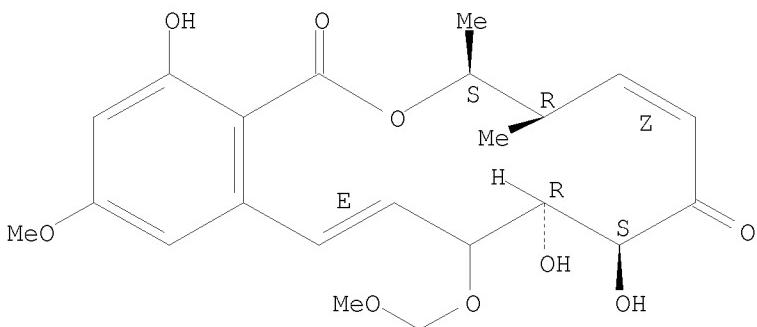


RN 603988-36-9 CAPLUS

CN 1H-2-Benzoxacyclotetradecin-1,7(8H)-dione,
3,4,9,10-tetrahydro-8,9,16-trihydroxy-14-methoxy-10-(methoxymethoxy)-3,4-
dimethyl-, (3S,4R,5Z,8S,9R,11E)- (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.



IT 603039-45-8P 603959-45-1P 603985-71-3P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)

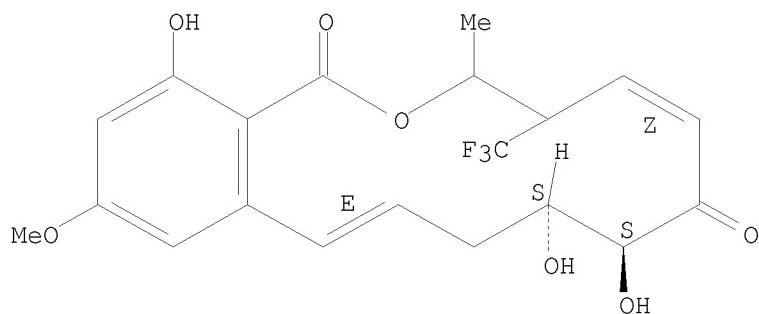
(preparation of macrocyclic compds. for use in pharmaceutical and cosmetic
comps. which regulate various genes involved in immune and
inflammatory responses)

RN 603039-45-8 CAPLUS

CN 1H-2-Benzoxacyclotetradecin-1,7(8H)-dione,
3,4,9,10-tetrahydro-8,9,16-trihydroxy-14-methoxy-3-methyl-4-
(trifluoromethyl)-, (5Z,8S,9S,11E)- (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

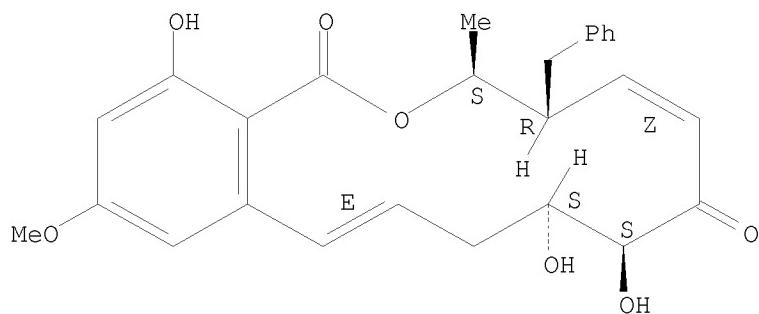


RN 603959-45-1 CAPLUS

CN 1H-2-Benzoxacyclotetradecin-1,7(8H)-dione,
3,4,9,10-tetrahydro-8,9,16-trihydroxy-14-methoxy-3-methyl-4-(phenylmethyl)-
, (3S,4R,5Z,8S,9S,11E)- (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

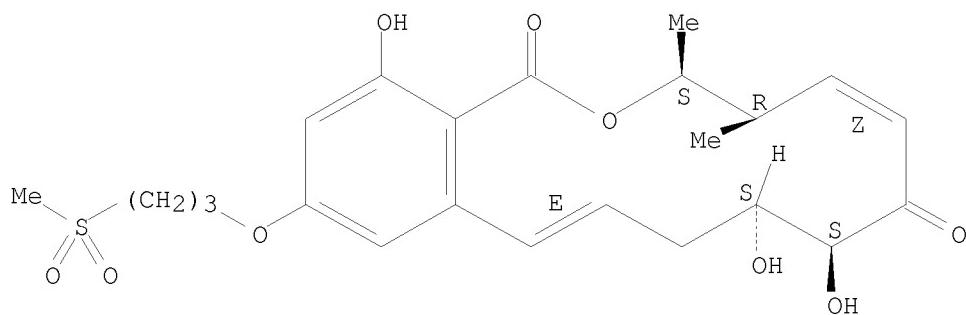


RN 603985-71-3 CAPLUS

CN 1H-2-Benzoxacyclotetradecin-1,7(8H)-dione,
3,4,9,10-tetrahydro-8,9,16-trihydroxy-3,4-dimethyl-14-[3-(methylsulfonyl)propoxy]-, (3S,4R,5Z,8S,9S,11E)- (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.



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